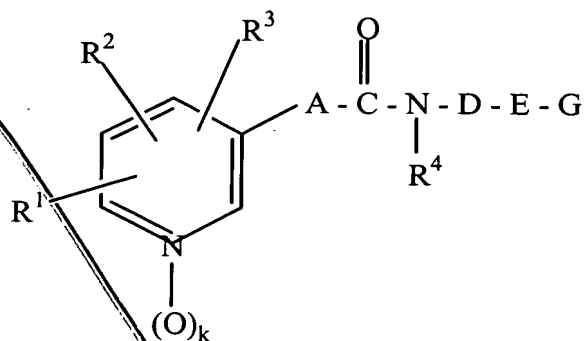


D'
cont
B'



(I)

wherein:

R^1 is selected from the group consisting of hydrogen, halogen, cyano, C_1 - C_6 -alkyl, C_3 - C_6 -alkenyl, C_2 - C_6 -alkinyl, trifluoromethyl, C_3 - C_8 -cycloalkyl, C_1 - C_6 -hydroxyalkyl, hydroxy, C_1 - C_6 -alkoxy, C_3 - C_6 -alkenyloxy, C_3 - C_6 -alkinyloxy, benzyloxy, C_1 - C_7 -alkanoyloxy, C_2 - C_7 -alkoxycarbonyloxy, C_1 - C_6 -alkylthio, C_3 - C_6 -alkenylthio, C_3 - C_6 -alkinylthio, C_3 - C_8 -cycloalkyloxy, C_3 - C_8 -cycloalkylthio, C_2 - C_7 -alkoxycarbonyl, aminocarbonyl, C_2 - C_7 -alkylaminocarbonyl, C_3 - C_{13} -dialkylaminocarbonyl, carboxy, phenyl, phenoxy, phenylthio, pyridyloxy, pyridylthio, and NR^5R^6 , wherein

R^5 and R^6 are selected independently of each other from the group consisting of hydrogen, C_1 - C_6 -alkyl, C_3 - C_6 -alkenyl, C_3 - C_6 -alkinyl, benzyl and phenyl;

R^2 is selected from the group consisting of hydrogen, halogen, cyano, C_1 - C_6 -alkyl, trifluoromethyl, hydroxy, C_1 - C_6 -alkoxy, benzyloxy and C_1 - C_7 -alkanoyloxy;

R^1 and R^2 , if adjacent, may form a bridge selected from $-(CH_2)_4-$ and $-(CH=CH)_2-$ or $CH_2O-CR^7R^8-O-$, wherein R^7 and R^8 are selected independently from each other from hydrogen and C_1 - C_6 -alkyl;

D'
cont
B'
R³ is selected from the group consisting of hydrogen, halogen, C₁-C₆-alkyl, trifluoromethyl and C₁-C₆-hydroxyalkyl;

R⁴ is selected from the group consisting of hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkinyl, C₃-C₆-cycloalkyl, hydroxy, C₁-C₆-alkoxy and benzyloxy;

k is 0 or 1,

A is selected from the group consisting of C₁-C₆-alkylene,

a substituted C₁-C₆-alkylene which is substituted one to three-fold by C₁-C₃-alkyl, hydroxy, C₁-C₃-alkoxy, fluorine, or phenyl,

C₂-C₆-alkylene, in which a methylene unit is isosterically replaced by O, S, NR⁹, CO, SO or SO₂, wherein, with the exception of CO, the isosteric substitution is not adjacent to the amide group and R⁹ is selected from the group consisting of hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkinyl, C₁-C₆-acyl and C₁-C₆-alkanesulfonyl,

1,2-cyclopropylene,

C₂-C₆-alkenylene,

a substituted C₂-C₆-alkenylene which is substituted once to three-fold by C₁-C₃-alkyl, hydroxy, C₁-C₃-alkoxy, fluorine, cyano or phenyl,

C₄-C₆-alkadienylene,

D/cont B1
~~a substituted C₄-C₆-alkadienylene which is substituted once or twice by C₁-C₃-alkyl, fluorine, cyano or phenyl;~~

~~1,3,5-hexatrienylene,~~

~~a 1,3,5-hexatrienylene which is substituted by C₁-C₃-alkyl, fluorine, cyano or phenyl, and~~

~~ethynylene,~~

~~D is selected from the group consisting of C₂-C₁₀-alkylene,~~

~~a substituted C₂-C₁₀-alkylene which is substituted once or twice by C₁-C₆-alkyl, hydroxy, or C₁-C₆-alkoxy;~~

~~C₄-C₁₀-alkenylene,~~

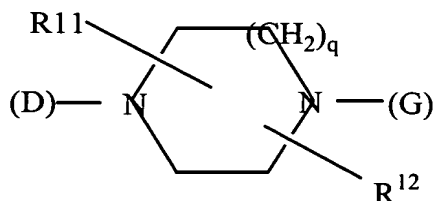
~~a substituted C₄-C₁₀-alkenylene which is substituted once or twice by C₁-C₆-alkyl, hydroxy, or C₁-C₆-alkoxy;~~

~~C₄-C₁₀-alkynylene,~~

~~a substituted C₄-C₁₀-alkynylene which is substituted once or twice by C₁-C₆-alkyl, hydroxy, or C₁-C₆-alkoxy; and~~

~~C₂-C₁₀-alkylene, C₄-C₁₀-alkenylene or C₄-C₁₀-alkynylene, in which one to three methylene units are isosterically replaced by O, S, NR¹⁰, CO, SO, or SO₂, wherein R¹⁰ has the same meaning as R⁹, but is selected independently thereof;~~

E is



wherein

q is 1, 2, or 3;

R¹¹ is selected from the group consisting of hydrogen, C₁-C₆-alkyl, hydroxy, hydroxymethyl, carboxy, or C₂-C₇-alkoxycarbonyl,

R¹² is selected from the group consisting of hydrogen, C₁-C₆-alkyl and an oxo group adjacent to a nitrogen atom,

and wherein R¹¹ and R¹² may together form a C₁-C₃-alkylene bridge under formation of a bicyclic ring system;

G is selected from the group consisting of G₁, G₂, G₃, G₄, and G₅, wherein

G¹ is - (CH₂)_r - (CR¹⁴R¹⁵)_s - R¹³

r is 0, 1, 2 or 3,

s is 0 or 1,

R¹³ is selected from the group consisting of hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkinyl, C₃-C₈-cycloalkyl,

B'
D'
cont

~~saturated or unsaturated four to eight-membered heterocycles,~~

~~saturated or unsaturated four to eight-membered heterocycles which contain one or two hetero-atoms selected from the group consisting of N, S and O,~~

~~benzyl, phenyl,~~

~~monocyclic aromatic five or six-membered heterocycles which contain one to three hetero-atoms selected from the group consisting of N, S and O where the heterocycles are either bound directly or over a methylene group,~~

~~anellated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein the linkage may occur either over an aromatic or a hydrogenated ring and either directly or over a methylene group,~~

~~anellated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein one to three ring atoms are selected from the group consisting of N, S and O and the linkage may occur either over an aromatic ring or a hydrogenated ring and either directly or over a methylene group,~~

~~R¹⁴ has the same meaning as R¹³, but is selected independently thereof;~~

~~R¹⁵ is selected from the group consisting of hydrogen,~~

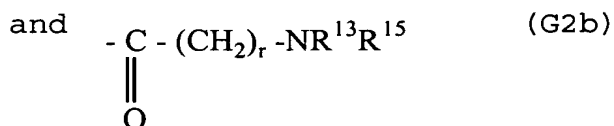
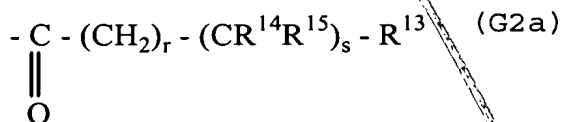
hydroxy, methyl, benzyl, and phenyl,

*B'
D'
cont*
monocyclic aromatic five or six-member heterocycles, which contain one to three hetero-atoms selected from the group consisting of N, S and O and wherein the heterocycles are either bound directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein the linkage occurs either over an aromatic or a hydrogenated ring and either directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein one to three ring atoms are selected from the group consisting of N, S and O and the linkage occurs either over an aromatic ring or a hydrogenated ring and either directly or over a methylene group,

G² is selected from the group consisting of



wherein r, s and the substituents R¹³ to R¹⁵ can have the above meaning, or the group -NR¹³R¹⁵ is a nitrogen containing heterocycle,

B'
D'
cont

wherein $-NR^{13}R^{15}$ is a nitrogen-containing heterocycle bound over the nitrogen atom selected from the group consisting of

saturated or unsaturated monocyclic, four to eight-membered nitrogen-containing heterocycles,

saturated or unsaturated monocyclic, four to eight-membered nitrogen-containing heterocycles which, aside from the essential nitrogen atom, contain one or two further hetero-atoms selected from the group consisting of N, S and O,

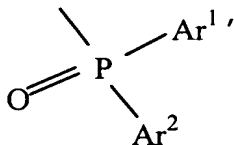
saturated or unsaturated bi- or tricyclic anellated or bridged nitrogen-containing heterocycles with 8 to 16 ring atoms,

saturated or unsaturated bi- or tricyclic anellated or bridged nitrogen-containing heterocycles with 8 to 16 ring atoms which aside from the essential nitrogen atom, contain one or two further hetro-atoms that are selected from N, S and O;

G^3 is $-SO_2-(CH_2)_r-R^{13}$

wherein r and R^{13} have the above meanings,

G^4 is



wherein

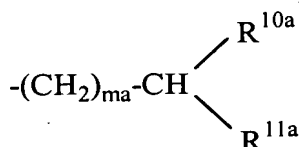
Ar^1 and Ar^2 are selected independently from each other

from the group consisting of phenyl, pyridyl and naphthyl,

G^5 is $-COR^{16}$

R^{16} is selected from the group consisting of trifluoromethyl, C_1 - C_6 -alkoxy, C_3 - C_6 -alkenyloxy, and benzyloxy,

wherein G is not $-(CH_2)_r-(CR^{14}R^{15})_s-R^{13}$ (G1) when
 R^{13} represents pyridyl or phenyl, which may be substituted by halogen, alkyl, alkoxy or trifluoromethyl,
 R^{14} represents hydrogen or phenyl, which may be substituted by halogen, alkyl, alkoxy or trifluoromethyl,
 R^{15} represents hydrogen,
 A represents alkylene, substituted ethenylene or butadienylene,
 D represents alkylene or alkenylene,
 E represents piperazine or homopiperazine, and
 s is 1;
 wherein G is not



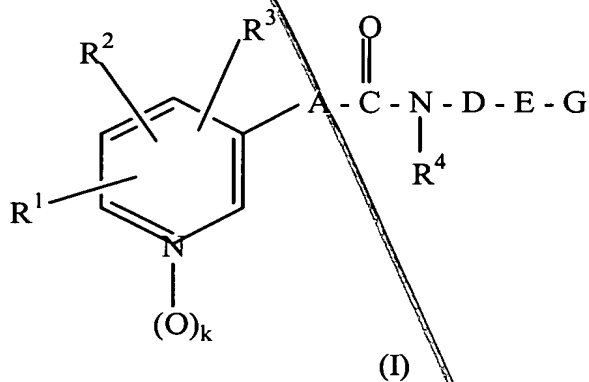
phenyl, and N-containing heteroaryl when: R^{10a} is hydrogen or phenyl, R^{11a} is a phenyl or a pyridyl, and ma is an integer of 0 to 2; when

R^1 is hydrogen, a halogen, a C_1 - C_6 -alkyl, a C_1 - C_6 -alkoxy, a C_1 - C_6 -alkylthio, a C_3 - C_8 -cycloalkyloxy, a C_3 - C_8 -cycloalkylthio, a C_2 - C_7 -alkoxycarbonyl, carboxy, a phenyl, a phenoxy, a phenylthio, 3-pyridyloxy or 3-pyridylthio;
 R^2 is hydrogen, a hydroxy, a C_1 - C_7 -alkanoyloxy or a C_2 - C_7 -alkoxycarbonyloxy, or when R^1 and R_2 are adjacent to each

other, they may combine to form tetramethylene or
 $-\text{CH}_2\text{OCR}^{8a}\text{R}^{9a}\text{O}-$, wherein R^{8a} and R^{9a} are the same or
different and are each a $\text{C}_1\text{-C}_6$ -alkyl;

- B'*
D'
cont
- R^3 is hydrogen, a $\text{C}_1\text{-C}_6$ -alkyl or a hydroxy- $\text{C}_1\text{-C}_6$ -alkyl;
A is a $\text{C}_1\text{-C}_6$ -alkylene or $-(\text{CR}^{6a}=\text{CR}^{7a})\text{ra}-$, wherein R^{6a} is
hydrogen, a $\text{C}_1\text{-C}_6$ -alkyl or a phenyl, R^{7a} is hydrogen, a $\text{C}_1\text{-C}_6$ -
alkyl, cyano or a phenyl, and ra is 1 or 2;
 R^4 is hydrogen;
D is a $\text{C}_1\text{-C}_{10}$ -alkylene or a $\text{C}_4\text{-C}_{10}$ -alkylene interrupted by at
least one double bond; and
E is selected from the group consisting of piperazine,
piperazine, which is substituted by $\text{C}_1\text{-C}_6$ -alkyl,
homopiperazine, and homopiperazine, which is substituted
by $\text{C}_1\text{-C}_6$ -alkyl.

3. (Once amended) A compound according to formula (I)



wherein

R^1 is selected from the group consisting of hydrogen,
halogen, cyano, $\text{C}_1\text{-C}_6$ -alkyl, trifluoromethyl, $\text{C}_3\text{-C}_8$ -cycloalkyl,
 $\text{C}_1\text{-C}_6$ -hydroxyalkyl, hydroxy, $\text{C}_1\text{-C}_4$ -alkoxy, benzyloxy, $\text{C}_1\text{-C}_4$ -
alkylthio, $\text{C}_1\text{-C}_5$ -alkanoyloxy, $\text{C}_1\text{-C}_4$ -alkylthio, $\text{C}_2\text{-C}_5$ -

B'
D'
cont

alkoxycarbonyl, aminocarbonyl, C₂-C₅-alkylaminocarbonyl, C₃-C₉-dialkylaminocarbonyl, carboxy, phenyl, phenoxy, phenylthio, pyridyloxy, and NR⁵R⁶, wherein

R⁵ and R⁶ are selected independently of each other from hydrogen and C₁-C₆-alkyl;

R² is selected from the group consisting of hydrogen, halogen, cyano, C₁-C₆-alkyl, trifluoromethyl, hydroxy, and C₁-C₄-alkoxy;

R³ is selected from the group consisting of hydrogen, halogen and C₁-C₆-alkyl;

R⁴ is selected from the group consisting of hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-cycloalkyl, hydroxy, C₁-C₆-alkoxy and benzyloxy;

k is 0 or 1,

A is selected from the group consisting of C₁-C₆-alkylene,

a substituted C₁-C₆-alkylene which is substituted one to three-fold by C₁-C₃-alkyl, hydroxy, fluorine, or phenyl,

C₂-C₆-alkylene, in which a methylene unit is isosterically replaced by O, S, NR⁹, CO, SO or SO₂, wherein, with the exception of CO, the isosteric substitution is not adjacent to the amide group and, the residue R⁹, is selected from the group consisting of hydrogen, C₁-C₆-alkyl, C₁-C₆-acyl and methane sulfonyl;

B¹
~~1,2-cyclopropylene,~~

~~C₂-C₆-alkenylene,~~

~~a substituted C₂-C₆-alkenylene which is substituted once to three-fold by C₁-C₃-alkyl, hydroxy, fluorine, cyano or phenyl,~~

~~C₄-C₆-alkadienylene,~~

~~a substituted C₄-C₆-alkadienylene which is substituted once to twice by C₁-C₃-alkyl, fluorine, cyano or phenyl;~~

~~1,3,5-hexatrienylene,~~

~~a substituted 1,3,5-hexatrienylene which is substituted by C₁-C₃-alkyl, fluorine, cyano, and~~

~~ethynylene,~~

~~D is selected from the group consisting of C₂-C₁₀-alkylene,~~

~~a substituted C₂-C₁₀-alkylene which is substituted once or twice by C₁-C₃-alkyl or hydroxy;~~

~~C₄-C₁₀-alkenylene,~~

~~a substituted C₄-C₁₀-alkenylene which is substituted once or twice by C₁-C₃-alkyl or hydroxy;~~

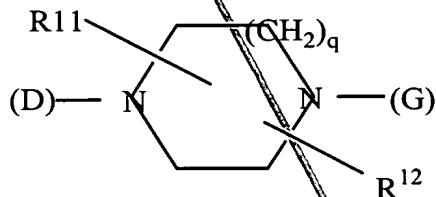
~~C₄-C₁₀-alkynylene,~~

a substituted C_4 - C_{10} -alkynylene which is substituted once or twice by C_1 - C_3 -alkyl or hydroxy; and

B'
D'
cont
 C_2 - C_{10} -alkylene, C_4 - C_{10} -alkenylene or C_4 - C_{10} -alkynylene, wherein one to three methylene units are isosterically replaced by O, S, NR^{10} , CO, SO, or SO_2 , wherein

R^{10} has the same meaning as R^9 , but is selected independently thereof;

E is



wherein

q is 1, 2, or 3;

R^{11} is selected from the group consisting of hydrogen C_1 - C_3 -alkyl, hydroxy, hydroxymethyl, carboxy, and C_2 - C_7 -alkoxycarbonyl and

R^{12} is selected from the group consisting of hydrogen, and an oxo group adjacent to a nitrogen atom,

and wherein R^{11} and R^{12} may together form a C_1 - C_3 -alkylene bridge under formation of a bicyclic ring system;

G is selected from the group consisting of G1, G2, G3,

G4, and G5, wherein

*B'
D'
cont*
G¹ is $-(CH_2)_r-(CR^{14}R^{15})_s-R^{13}$

r is 0, 1 or 2,

s is 0 or 1,

R¹³ is selected from the group consisting of hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkinyl, C₃-C₈-cycloalkyl; benzyl, phenyl;

monocyclic aromatic five or six-membered heterocycles, which contain one to three hetero-atoms selected from the group consisting of N, S and O, wherein the heterocycles are either bound directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein the linkage occurs either over an aromatic or a hydrogenated ring and either directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein one to three ring atoms are selected from the group consisting of N, S and O, wherein the linkage occurs either over an aromatic ring or a hydrogenated ring and either directly or over a methylene group,

R¹⁴ has the same meaning as R¹³, but is selected

independently thereof;

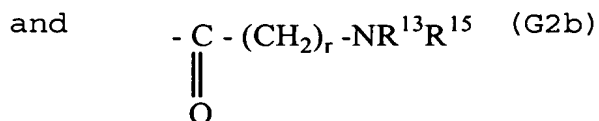
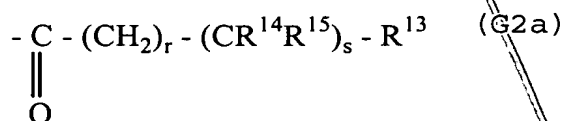
B' D' cont
 R^{15} is selected from the group consisting of hydrogen, hydroxy, methyl, benzyl, phenyl,

monocyclic aromatic five or six-membered heterocycles, which contain one to three hetero-atoms selected from the group consisting of N, S and O, wherein the heterocycles are either bound directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein the linkage occurs either over an aromatic or a hydrogenated ring and either directly or over a methylene group, and

anellated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein one to three ring atoms can be selected from N, S and O and the linkage may occur either over an aromatic ring or a hydrogenated ring and either directly or over a methylene group;

G^2 is selected from the group consisting of



wherein r , s and the substituents R^{13} to R^{15} can have the

above meaning, or the group $-NR^{13}R^{15}$ is a nitrogen containing heterocycle,

B'
D'
cont
wherein $-NR^{13}R^{15}$ is a nitrogen-containing heterocycle bound over the nitrogen atom, the nitrogen-containing heterocycle selected from the group consisting of

saturated or unsaturated monocyclic, four to eight-membered heterocycles,

saturated or unsaturated monocyclic, four to eight-membered heterocycles which aside from the essential nitrogen atom, contain one or two further hetero-atoms selected from the group consisting of N, S and O,

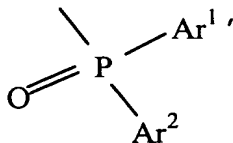
saturated or unsaturated bi- or tricyclic anellated or bridged heterocycles with 8 to 16 ring atoms, and

saturated or unsaturated bi- or tricyclic anellated or bridged heterocycles with 8 to 16 ring atoms that aside from the essential nitrogen atom, contain one or two further hetero-atoms that are selected from the group consisting of N, S and O;

G^3 is $-SO_2-(CH_2)_r-R^{13}$

wherein r and R^{13} have the above meaning,

G^4 is



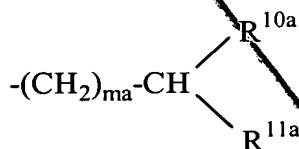
wherein

B'
D'
ent
Ar¹ and Ar² are be selected independently from each other from the group consisting of phenyl, pyridyl and naphthyl,

G⁵ is -COR¹⁶

R¹⁶ is selected from the group consisting of trifluoromethyl, C₁-C₆-alkoxy, C₃-C₆-alkenyloxy, and benzyloxy,

wherein G is not



phenyl, and N-containing heteroaryl when: R^{10a} is hydrogen or phenyl, R^{11a} is a phenyl or a pyridyl, and ma is an integer of 0 to 2; when

R¹ is hydrogen, a halogen, a C₁-C₆-alkyl, a C₁-C₆-alkoxy, a C₁-C₆-alkylthio, a C₃-C₈-cycloalkyloxy, a C₃-C₈-cycloalkylthio, a C₂-C₇-alkoxycarbonyl, carboxy, a phenyl, a phenoxy, a phenylthio, 3-pyridyloxy or 3-pyridylthio;

R² is hydrogen, a hydroxy, a C₁-C₇-alkanoyloxy or a C₂-C₇-alkoxycarbonyloxy, or when R¹ and R₂ are adjacent to each other, they may combine to form tetramethylene or -CH₂OCR^{8a}R^{9a}O-, wherein R^{8a} and R^{9a} are the same or different and are each a C₁-C₆-alkyl;

R³ is hydrogen, a C₁-C₆-alkyl or a hydroxy-C₁-C₆-alkyl;

A is a C₁-C₆-alkylene or -(CR^{6a}=CR^{7a})ra-, wherein R^{6a} is hydrogen, a C₁-C₆-alkyl or a phenyl, R^{7a} is hydrogen, a C₁-C₆-alkyl, cyano or a phenyl, and ra is 1 or 2;

R⁴ is hydrogen;

- B' D' cont
- D is a C₁-C₁₀-alkylene or a C₄-C₁₀-alkylene interrupted by at least one double bond; and
- E is selected from the group consisting of piperazine, piperazine, which is substituted by C₁-C₆-alkyl, homopiperazine, and homopiperazine, which is substituted by C₁-C₆-alkyl.

4. (Twice amended) The compound according to claim 3, wherein

R¹ is selected from the group consisting of hydrogen, halogen, cyano, methyl, ethyl, trifluoromethyl, hydroxy, C₁-C₄-alkoxy, benzyloxy, C₁-C₅-alkanoyloxy, methylthio, ethylthio, methoxycarbonyl, tert-butoxycarbonyl, aminocarbonyl, carboxy, phenoxy, and phenylthio,

R² is selected from the group consisting of hydrogen, halogen, trifluoromethyl and hydroxy;

R³ is selected from the group consisting of hydrogen and halogen;

R⁴ is selected from the group consisting of hydrogen, C₁-C₃-alkyl, allyl, hydroxy and C₁-C₅-alkoxy;

k is 0 or 1,

A is selected from the group consisting of C₁-C₆-alkylene,

a substituted C₁-C₆-alkylene which is substituted once or twice by C₁-C₃-alkyl, hydroxy or fluorine;

B' C₂-C₆-alkylene, in which a methylene unit is isosterically replaced by O, S, NR⁹, CO, SO or SO₂, wherein, with the exception of CO, the isosteric substitution is not adjacent to the amide group,

C₂-C₆-alkenylene,

a substituted C₂-C₆-alkenylene which is substituted once or twice by C₁-C₃-alkyl, hydroxy or fluorine,

C₄-C₆-alkadienylene,

a substituted C₄-C₆-alkadienylene which is substituted by C₁-C₃-alkyl or one or two fluorine atoms;

1,3,5-hexatrienylene,

a substituted 1,3,5-hexatrienylene which is substituted by fluorine,

D is selected from the group consisting of C₂-C₈-alkylene,

a substituted C₂-C₈-alkylene which is substituted once or twice by methyl or hydroxy;

C₄-C₈-alkenylene,

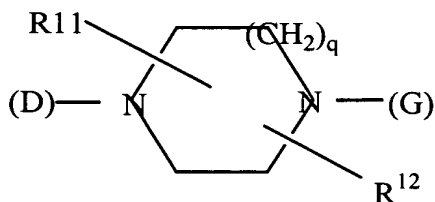
a substituted C₄-C₈-alkenylene which is substituted once or twice by methyl or hydroxy,

C₄-C₈-alkynylene,

a substituted C_4 - C_8 -alkynylene which is substituted once or twice by methyl or hydroxy; and

*B*¹ C_2 - C_8 -alkylene, C_4 - C_8 -alkenylene or C_4 - C_8 -alkynylene, wherein one to three methylene units are each isosterically replaced by O, S, NH, $N(CH_3)$, $N(COCH_3)$, $N(SO_2CH_3)$, CO, SO or SO_2 ,

E is



wherein

q is 1 or 2;

R^{11} is selected from the group consisting of hydrogen C_1 - C_3 -alkyl, hydroxymethyl, and carboxy,

R^{12} is selected from the group consisting of hydrogen and an oxo group adjacent to a nitrogen atom,

G is selected from the group consisting of G1, G2, G3, G4, and G5, wherein

G¹ represents $-(CH_2)_r-(CR^{14}R^{15})_s-R^{13}$,

r is 0, 1 or 2,

s is 0 or 1;

B' R¹³ is selected from the group consisting of hydrogen, C₁-C₆-alkyl, C₃-C₈-cycloalkyl; benzyl, phenyl, benzcyclobutyl, indanyl, indenyl oxoindanyl, naphthyl, dihydronaphthyl, tetrahydronaphthyl, oxotetrahydronaphthyl, biphenylenyl, fluorenyl, oxofluorenyl, anthryl, dihydroanthryl, oxodihydroanthryl, dioxodihydroanthryl, phenanthryl, dihydrophenanthryl, oxodihydrophenanthryl, dibenzocycloheptenyl, oxodibenzocycloheptenyl, dihydrodibenzocycloheptenyl, oxodihydrodibenzocycloheptenyl, dihydrodibenzocyclooctenyl, tetrahydrodibenzocyclooctenyl, oxotetrahydrodibenzocyclooctenyl bound directly over a methylene group,

furyl, thienyl, pyrrolyl, oxazolyl, isoxazolyl, thizolyl, isothiazolyl, pyrazolyl, imidazolyl, oxadiazolyl, thiadiazolyl, triazolyl, pyridyl, pyrazinyl, pyridazinyl, pyrimidinyl, triazinyl, imidazothiazolyl, benzofuryl, dihydrobenzofuryl, benzothienyl, dihydrobenzothienyl, indolyl, indolinyl, isoindolinyl, oxoindolinyl, dioxoindolinyl, benzoxazolyl, oxobenzoaxolinyl, benzooisoxazolyl, oxobenzoisoxazolinyl, benzothiazolyl, oxobenzthiazolinyl, benzoisothiazolyl, oxobenzoisothiazolinyl, benzoimidazolyl, oxobenzoimidazolinyl, indazolyl, oxoindazolinyl, benzofurazanyl, benzothiadiazolyl, benzotriazolyl, oxazolopridyl, oxodihydrooxazolopyridyl, thiazolopyridyl, oxodihydrooxazolopyridyl, thiazolopyridyl, oxodihydrothiazolopyridyl, isothiazolopyridyl, imidazopyridyl, oxodihydroimidazopyridyl, pyrazolopyridyl, oxodihydropyrazolopyridyl, thienopyrimidinyl, chromanyl, chromanonyl, benzopyranyl, chromonyl, quinoloyl, isoquinoloyl, dihydroquinolyl, oxodihydroquinolinyl, tetrahydroquinolyl,

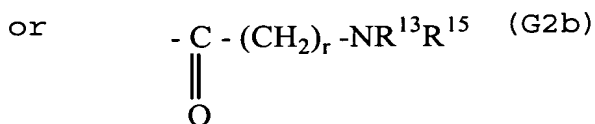
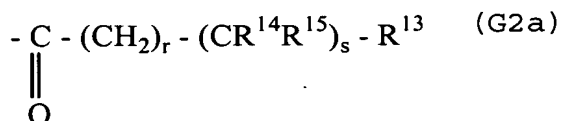
B' oxotetrahydroquinolinyl, benzodioxanyl, quinoxalinyl, quinazolinyl, naphthyridinyl, carbazolyl, tetrahydrocarbazolyl, acridinyl, oxodihydroacridinyl, phenanthridinyl, dihydrophenanthridinyl, oxodihydrophenanthridinyl, dibenzoisoquinolinyl, dihydrodibenzoisoquinolinyl, oxodihydrodibenzoisoquinolinyl, phenothiazinyl, dihydrodibenzooxepinyl, oxodihydrodibenzooxepinyl, benzocycloheptathienyl, oxobenzocycloheptathienyl, dihydrothienobenzothiepinyl, oxodihydrothienobenzothiepinyl, dihydrothienobenzothiepinyl, oxodihydrodibenzothiepinyl, octahydrodibenzothiepinyl, dibenzoazepinyl, dihydrodibenzazepinyl, oxodihydrodibenzazepinyl, octahydrodibenzazepinyl, benzocycloheptapyridyl, oxobenzocycloheptapyridyl, pyridobenzoazepinyl, dihydropyridobenzoazepinyl, oxodihydropyridobenzoazepinyl, dihydropyridobenzodiazepinyl, dihydrodibenzooxazepinyl, dihydropyridobenzooxepinyl, dihydropyridobenzooxazepinyl, oxodihydropyridobenzooxazepinyl, dihydropyridobenzothiazepinyl and oxodihydropyridobenzothiazepinyl bound directly or over a methylene group;

R¹⁴ has the same meaning as R¹³, but is selected independently thereof;

R¹⁵ is selected from the group consisting of hydroxy, methyl, benzyl, phenyl, indanyl, indenyl, naphthyl, dihydronaphthyl, tetrahydronaphthyl, furyl, thienyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyrazolyl, imidazolyl, imidazolyl, oxadiazolyl, thiadiazolyl, triazolyl, pyridyl, pyrazinyl, pyridazinyl, pyrimidinyl, triazinyl, benzofuryl, benzothienyl, indolyl, indolinyl, benzooxazolyl,

benzothiazolyl, benzoimidazolyl, chromanyl, quinolyl, and tetrahydroquinolyl bound directly or over a methylene group;

B' G² is selected from the group consisting of



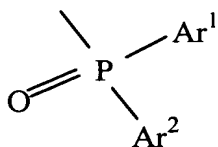
wherein r, s and the substituents R¹³ to R¹⁵ have the above meaning, or the group -NR¹³R¹⁵ is selected from the group consisting of azetidine, pyrrolidine, piperidine, (1H)-tetrahydropyridine, hexahydroazepine, (1H)-tetrahydroazepine, octahydroazocine, pyrazolidine, piperazine, hexahydrodiazepine, morpholine, hexahydrooxazepine, thiomorpholine, thiomorpholin-1,1-dioxide, of 5-aza-bicyclo[2.1.1]hexane, 2-aza-bicyclo[2.2.1]heptane, 7-aza-bicyclo[2.2.1]heptane, 2,5-diaza-bicyclo[2.2.1]heptane, 2-aza-bicyclo[2.2.2]octane, 8-aza-bicyclo[3.2.1]octane, 2,5-diazabicyclo[2.2.2]octane, 9-aza-bicyclo[3.3.1]nonane, indoline, isoindoline, (1H)-dihydroquinoline, (1H)-tetrahydroquinolin, (2H)-tetrahydroisoquinoline, (1H)-tetrahydroquinoxaline, (4H)-dihydrobenzooxazine, (4H)-dihydrobenzothiazine, (1H)-tetrahydrobenzo[b]azepine, (1H)-tetrahydrobenzo[c]azepine, (1H)-tetrahydrobenzo[d]azepine, (5H)-tetrahydrobenzo[b]oxazepine, (5H)-tetrahydrobenzo[b]thiazepine, 1, 2, 3, 4-tetra-hydro-9H-pyrido [3,4-b]indole, (10H)-dihydroacridine, (10H)-dihydrophenanthridine, 1, 2, 3, 4-tetrahydroacridanone, (10H)-phenoxazine, (10H)-phenothiazine, (5H)-dibenzoazepine, (5H)-

B' dihydrodibenzoazepine, (5H)-octahydrodibenzoazepine, dihydrobenzo[d,e]isoquinoline, (5H)-dihydrodibenzodiazepine, (5H)-benzo[b]pyrido-[f]azepine, (5H)-Dihydrobenzo[b]pyrido[f]azepine, (11H)-Dihydrodibenzo[b,e]oxazepine, (11H)-dihydrodibenzo[b,e]thiazepine, (10H)-dihydrodibenzo[b,f]-oxazepine, (10H)-dihydrodibenzo[b,f]thiazepine, (5H)-tetrahydrodibenzoazocine, (11H)-dihydrobenzo[e]pyrido[b]-1,4-diazepin-6-one and (11H)-dihydrobenzo[b]pyrido[e]-1,4-diazepin-5-one,

G^3 is $-SO_2-(CH_2)_r-R^{13}$

wherein r and R^{13} have the above definition,

G^4 is



wherein

Ar^1 and Ar^2 are selected independently from each other from the group consisting of phenyl, pyridyl and naphthyl,

G^5 is $-COR^{16}$

R^{16} is selected from the group consisting of trifluoromethyl, C_1 - C_6 -alkoxy, C_3 - C_6 -alkenyloxy, and benzyloxy.

5. (Twice amended) The compounds according to claim 4, wherein

B' R¹ is selected from the group consisting of hydrogen, fluorine, chlorine, bormine, methyl, ethyl, trifluoromethyl, hydroxy, C₁-C₄-alkoxy, methylthio, ethylthio, carboxy and phenoxy;

R² is selected from the group consisting of hydrogen, chlorine and methyl;

R³ is selected from hydrogen;

R⁴ is selected from the group consisting of hydrogen, C₁-C₃-alkyl and hydroxy,

k is 0,

A is selected from the group consisting of C₂-C₆-alkylene,

a substituted C₂-C₆-alkylene which is substituted once or twice by hydroxy or fluorine,

C₂-C₆-alkylene, wherein a methylene unit is isosterically replaced by O, S or CO, wherein, with the exception of CO, the isosteric substitution cannot be adjacent to the amide group,

C₂-C₆-alkenylene,

a C₂-C₆-alkenylene which is substituted once or twice by C₁-C₃-alkyl or fluorine, and

C₄-C₆-alkadienylene;

D is selected from the group consisting of

C₂-C₈-alkylene,

B' a substituted C₂-C₈-alkylene which is substituted by methyl or hydroxy;

C₄-C₈-alkenylene,

a substituted C₄-C₈-alkenylene which is substituted by hydroxy;

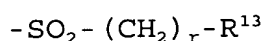
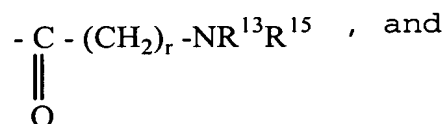
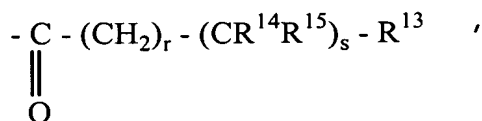
C₄-C₈-alkynylene,

a substituted C₄-C₈-alkynylene which is substituted by hydroxy; and

C₂-C₈-alkylene, C₄-C₈-alkenylene or C₄-C₈-alkynylene, wherein a methylene unit is isosterically replaced by O, S, NH, N(CH₃), CO, or SO₂, or an ethylene group is isosterically replaced by a group NH-CO or CO-NH, or a propylene group is isosterically replaced by a group NH-CO-O or O-CO-NH;

E is selected from the group consisting of piperazine, hexahydro-1,4-diazepine, and substituted piperazine and hexahydro-1,4-diazepine wherein the ring is substituted by one or two methylene groups or by an oxo group adjacent to a nitrogen atom;

G is selected from the group consisting of hydrogen, C₃-C₈-cycloalkyl, methoxycarbonyl, tert-butoxycarbonyl, benzyloxycarbonyl, trifluoroacetyl, diphenyl phosphinoyl, - (CH₂)_r - (CR¹⁴R¹⁵)_s - R¹³,



r is 0 or 1,

s is 0 or 1,

R¹³ is selected from the group consisting of hydrogen, methyl, benzyl, phenyl, indanyl, indenyl, oxoindanyl, naphthyl, tetrahydronaphthyl, fluorenyl, oxofluorenyl, anthryl, dihydroanthryl, oxodihydroanthryl, dioxodihydroanthryl, phenanthryl, dihydrophenanthryl, oxodihydrophenanthryl, dibenzocycloheptenyl, dihydrodibenzocycloheptenyl, oxodihydrodibenzocycloheptenyl, bound directly over a methylene group, furyl, thienyl, oxazolyl, thiazolyl, imidazolyl, oxadiazolyl, pyridyl, pyrazinyl, pyrimidinyl, imidazothiazolyl, benzofuryl, benzothienyl, indolyl, indolinyl, oxoindolinyl, dioxoindolinyl, benzoxazolyl, oxobenzoaxolinyl, benzooisoxazolyl, oxobenzoisoxazolinyl, benzothiazolyl, oxobenzthiazolinyl, benzimidazolyl, oxobenzimidazolinyl, indazolyl, benzofurazanyl, benzothiadiazolyl, oxazolopridyl, oxodihydrooxazolopyridyl, imidazopyridyl, oxodihydroimidazopyridyl, chromanyl, chromanonyl, benzopyranyl, chromonyl, quinoloyl, isoquinoloyl, oxodihydroquinolinyl, tetrahydroquinolyl,

B' oxotetrahydroquinolinyl, benzodioxanyl, quinazolinyl, carbazolyl, acridinyl, dihydroacridinyl, oxodihydroacridinyl, dibenzoisoquinolinyl, dihydrodibenzoisoquinolinyl, oxodihydrodibenzoisoquinolinyl, phenothiazinyl, dihydrodibenzoxepinyl, oxodihydrodibenzoxepinyl, benzocycloheptathienyl, oxobenzocycloheptathienyl, dihydrothienobenzothiepinyl, oxodihydrothienobenzothiepinyl, dihydrothienobenzothiepinyl, oxodihydrodibenzothiepinyl, octahydrodibenzothiepinyl, dibenzoazepinyl, dihydrodibenzoazepinyl, oxodihydrodibenzoazepinyl, octahydrodibenzoazepinyl, benzocycloheptapyridyl, oxobenzocycloheptapyridyl, pyridobenzoazepinyl, dihydropyridobenzoazepinyl, oxodihydropyridobenzoazepinyl, dihydropyridobenzodiazepinyl, dihydrodibenzooxazepinyl, dihydropyridobenzooxepinyl, dihydropyridobenzooxazepinyl, oxodihydropyridobenzooxazepinyl, dihydropyridobenzothiazepinyl, and oxodihydropyridobenzothiazepinyl bound directly or over a methylene group,

R¹⁴ is selected from the group consisting of hydrogen, methyl, benzyl, and phenyl;

R¹⁵ is selected from the group consisting of hydroxy, methyl, benzyl, phenyl, naphthyl, tetrahydronaphthyl, furyl, thienyl, oxazolyl, thiazolyl, imidazolyl, benzofuryl, benzothienyl, indolyl, indolinyl, benzoxazolyl, benzothiazolyl, benzoimidazolyl, chromanyl, quinolyl, and tetrahydroquinolyl bound directly or over a methylene group;

wherein the group -NR¹³R¹⁵ represents a ring bound over the nitrogen of a residue from the series pyrrolidine, piperidine, hexahydroazepine, piperazine, hexahydrodiazepine,

B' thiomorpholine, 7-aza-bicyclo-heptane, 2,5-diaza-bicyclo heptane, indoline, isoindoline, (1H)-dihydroquinoline, (1H)-tetrahydroquinolin, (2H)-tetrahydroisoquinoline, (4H)-dihydrobenzooxazine, (4H)-dihydrobenzothiazine, (1H)-tetrahydrobenzoazepine, (1H)-tetrahydrobenzoazepine, (5H)-tetrahydrobenzoox-azepine, (5H)-tetrahydrobenzothiazepine, (10H)-dihydroacridine, 1,2,3,4-tetrahydroacridanone, (10H)-dihydrophenanthridine, (1H)-dihyrdobenzo-isoquinoline, (10H)-phenothiazine, (5H)-dibenzoazepine, (5H)-dihydrodibenzoazepine, (5H)-octahydrodibenzoazepine, dihydrobenzoisoquinoline, (5H)-dihydrodibenzoazepine, (5H)-dihydrodibenzodiazepine, (5H)-dihydrobenzoazepine, (11H)-dihydrodibenzooxazepine, (11H)-dihydrodibenzothiazepine, (10H)-dihydrodibenzo-oxazepine, (5H)-dihydrobenzopyridoazepine and (11H)-oxodihydrobenzopyridodiazepine, wherein

aromatic ring systems in the substitutents may be substituted independently from each other by one to three of the same or different groups selected from the group consisting of halogen, cyano, C₁-C₆-alkyl, trifluoromethyl, C₃-C₈-cycloalkyl, phenyl, benzyl, hydroxy, C₁-C₆-hydroxyalkyl, C₁-C₆-alkoxy, C₁-C₆-alkoxy entirely or partially substituted by fluorine, benzyloxy, phenoxy, mercapto, C₁-C₆-alkylthio, carboxy, C₂-C₇-carboxyalkyl, C₂-C₇-carboxyalkenyl, C₂-C₇-alkoxycarbonyl, benzyloxycarbonyl, nitro, amino, mono-C₁-C₆-alkylamino, di-(C₁-C₆-alkyl)-amino and, methylene dioxide in the case of two adjacent residues on the aromatic ring, and

wherein alkyl- alkenyl- and cycloalkyl residues in the groups G can be substituted by one or two of the same or different groups which are selected from the group consisting of hydroxy, carboxy, C₂-C₇-alkoxycarbonyl, benzyloxycarbonyl, amino, mono-C₁-C₆-alkylamino and di-(C₁-C₆-alkyl-amino).

6. (Twice amended) The compounds according to claim 5,
wherein

B' R¹ is selected from the group consisting of hydrogen, fluorine, methyl, trifluoromethyl, and ethylthio;

R², R³ and R⁴ are each hydrogen;

k is 0,

A is selected from the group consisting of ethylene, propylene and butylene,

a substituted ethylene, propylene and butylene which are each substituted by hydroxy, one or two fluorine atoms,

OCH₂,

SCH₂,

ethenylene, and

1,3-butadienylene;

D is selected from the group consisting of C₂-C₆-alkylene,

a substituted C₂-C₆-alkylene which is substituted by hydroxy,

C₄-C₆-alkenylene,

C₄-C₆-alkynylene, and

B' C₂-C₆-alkylene, C₄-C₆-alkenylene or C₄-C₆-alkynylene, wherein one or two methylene units are isosterically replaced by O, NH, CO, or SO₂,

E is piperazine or hexahydro-1,4-diazeazepine;

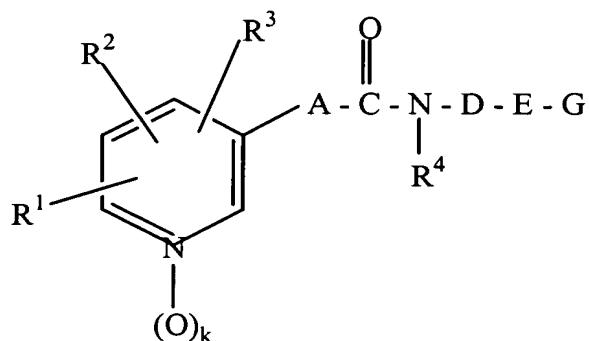
G is selected from the group consisting of phenyl, benzyl, phenethyl, diphenylmethyl, naphthyl, tetrahydroaphtyl, naphthylmethyl, fluorenyl, fluorenylmethyl, anthrylmethyl, dihydrodibenzo-cycloheptenyl, furylmethyl, thienylmethyl, thiazolylmethyl, pyridylmethyl, benzothienylmethyl, quinolylmethyl, phenylthienylmethyl, phenylpyridylmethyl, benzocycloheptapyridinyl, dihydrobenzocyclo-heptapyridinyl, dihydrodibenzooxepinyl, dihydrodibenzothiepinyl, dihydrodibenzoazepinyl, dihydrobenzopyridodiazepinyl formyl, acetyl, pivaloyl, phenylacetyl, diphenylacetyl, diphenylpropionyl, naphthylacetyl, benzoyl, naphthoyl, oxofluorenylcarbonyl, oxodihydroanthrylcarbonyl, dioxodihydroanthrylcarbonyl, furoyl, pyridylacetyl, pyridylcarbonyl, chromonylcarbonyl, quinolylcarbonyl, phenylaminocarbonyl, naphthylaminocarbonyl, tetrahydronaphthylaminocarbonyl, dibenzylaminocarbonyl, benzylphenylaminocarbonyl, diphenylaminocarbonyl, indolinyl-N-carbonyl, isoindolin-N-carbonyl, tetrahydroquinolinyl-N-carbonyl, carbazolyl-N-carbonyl, tetrahydrobenzoazepinyl-N-carbonyl, dihydrodibenzoazepin-N-carbonyl, dihydrobenzopyridoazepinyl-N-carbonyl, oxodihydrobenzopyridoazepinyl-N-carbonyl, methanesulfonyl, toluenesulfonyl, naphthylsulfonyl, quinolinsulfonyl and diphenylphosphinoyl,

7. (Twice amended) The compound according to claim 3

B' which is selected from the group consisting of N-[4-(4-diphenylmethylpiperazin-1-yl)-3-hydroxybutyl]-3-pyridin-3-yl-acrylamide; N-[3-(4-diphenylmethylpiperazin-1-yl)-propoxy]-3-pyridin-3-yl-acrylamide; N-[4-(4-diphenylmethylpiperazin-1-yl)-4-oxo-butyl]-3-pyridin-3-yl-acrylamide; N-[3-(4-diphenylmethylpiperazin-1-sulfonyl)-propyl]-3-pyridin-3-yl-acrylamide; N-{2-[2-(4-diphenylmethylpiperazin-1-yl)-ethoxy]-ethyl}-3-pyridin-3-yl-acrylamide; N-(4-{4-[bis-(4-fluorophenyl)-methyl]-piperazin-1-yl}-but-2-in-yl)-3-pyridin-3-yl-acrylamide; N-{4-[4-(4-carboxyphenyl-phenylmethyl)-piperazin-1-yl]-butyl}-3-pyridin-3-yl-acrylamide; N-(4-{4-[(4-aminophenyl)-phenylmethyl]-piperazin-1-yl}-butyl)-3-pyridin-3-yl-acrylamide; N-{4-[4-(9H-fluoren-9-yl)-piperazin-1-yl]-butyl}-2-(pyridin-3-yloxy)-acetamide; N-{5-[4-(9H-fluoren-9-yl)-piperazin-1-yl]-penyl}-3-pyridin-3-yl-acrylamide; N-{6-[4-(9H-fluoren-9-yl)-piperazin-1-yl]-hexyl}-3-pyridin-3-yl-acrylamide; 3-pyridin-3-yl-N-{4-[4-(1,2,3,4-tetrahydronaphthalin-1-yl)-piperazin-1-yl]-butyl}-acrylamide; 3-pyridin-3-yl-N-{4-[4-(5,6,7,8-tetrahydronaphthalin-1-yl)-piperazin-1-yl]-butyl}-acrylamide; N-{4-[4-(naphthalin-1-yl)-piperazin-1-yl]-butyl}-3-pyridin-3-yl-acrylamide; N-[4-(4-biphenyl-2-yl)-butyl]-3-pyridin-3-yl-propionamide; N-[5-(4-biphenyl-2-yl-piperazin-1-yl)-pentyl]-3-pyridin-3-yl-acrylamide; N-[6-(4-biphenyl-2-yl-piperazin-1-yl)-hexyl]-3-pyridin-3-yl-acrylamide; N-[4-(4-biphenyl-2-yl-piperazin-1-yl)-butyl]-2-(pyridin-3-yloxy)-acetamide; N-[4-(4-biphenyl-2-yl-piperazin-1-yl)-butyl]-5-(pyridin-3-yl)-penta-2,4-dienoic acid amide; N-{4-[4-(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)-piperazin-1-yl]-butyl}-3-pyridin-3-yl-propionamide; N-{5-[4-(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)-piperazin-1-yl]-pentyl}-3-pyridin-3-yl-acrylamide; N-{6-[4-(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)-piperazin-1-

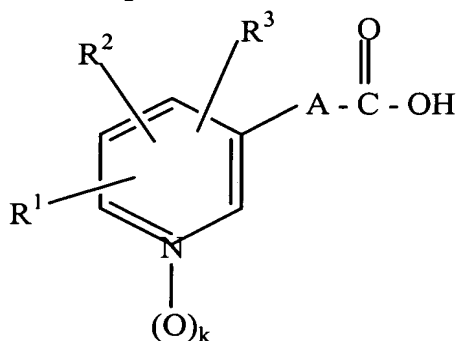
B' yl}-hexyl}-3-pyridin-3-yl-propionamide; N-{4-[4-(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)-piperazin-1-yl]-butyl}-5-(pyridin-3-yl)-penta-2,4-dienoic acid amide; N-{4-[4-(6,11-dihydro-dibenzo[b,e]oxepin-11-yl)-piperazin-1-yl]-butyl}-3-pyridin-3-yl-propionamide; N-{2-[4-(6,11-dihydrodibenzo[b,e]thiepin-11-yl)-piperazin-1-yl]-ethyl}-3-pyridin-3-yl-acrylamide; N-[4-(4-diphenylacetyl-piperazin-1-yl)-butyl]-3-pyridin-3-yl-acrylamide; N-[4-(4-benzoylpiperazin-1-yl)-butyl]-3-pyridin-3-yl-acrylamide; N-{4-[4-(2-aminobenzoyl)-piperazin-1-yl]-butyl}-3-pyridin-3-yl-acrylamide; N-{4-[4-(4-carboxybenzoyl)-piperazin-1-yl]-butyl}-3-pyridin-3-yl-acrylamide; N-{4-[4-(biphenyl-2-carbonyl)-piperazin-1-yl]-butyl}-3-pyridin-3-yl-acrylamide; N-{4-[4-(9-oxo-9H-fluoren-4-carbonyl)-piperazin-1-yl]-butyl}-3-pyridin-3-yl-acrylamide; N-{4-[4-(furan-2-carbonyl)-piperazin-1-yl]-butyl}-3-pyridin-3-yl-acrylamide; N-{4-[4-(naphthalin-1-yl-aminocarbonyl)-piperazin-1-yl]-butyl}-3-pyridin-3-yl-propionamide; N-{4-[4-(diphenylaminocarbonyl)-piperazin-1-yl]-butyl}-3-pyridin-3-yl-acrylamide; N-{4-[4-(naphthalin-2-sulfonyl)-piperazin-1-yl]-butyl}-3-pyridin-3-yl-acrylamide; N-[4-(4-diphenylphosphinoyl-piperazin-1-yl)-butyl]-3-pyridin-3-yl-acrylamide; N-[4-(4-biphenyl-2-yl-piperazin-1-yl)-butyl]-3-pyridin-3-yl-acrylamide; N-{4-[4-(9H-fluoren-9-yl)-piperazin-1-yl]-butyl}-3-pyridin-3-yl-acrylamide; and N-{4-[4-(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)-piperazin-1-yl]-butyl}-3-pyridin-3-yl-acrylamide.

8. (Twice amended) A method for the production of compounds according to formula (I)



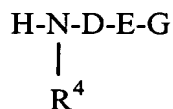
(I)

[(A))] wherein carboxylic acids of formula (II)



(I)

in which R₁, R₂, R₃, A and k have the meaning given below or their respective derivatives are reacted with compounds of formula (III)



wherein D, E, and G and R₄ are defined below in a form of the respective free base or the respective free acid addition salt at a temperature between about -40°C and about 180°C wherein:

R₁ is selected from the group consisting of hydrogen, halogen, cyano, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₂-C₆-alkinyl, trifluoromethyl, C₃-C₈-cycloalkyl, C₁-C₆-hydroxyalkyl, hydroxy,

B' C₁-C₆-alkoxy, C₃-C₆-alkenyloxy, C₃-C₆-alkinyloxy, benzyloxy, C₁-C₇-alkanoyloxy, C₂-C₇-alkoxycarbonyloxy, C₁-C₆-alkylthio, C₃-C₆-alkenylthio, C₃-C₆-alkinylthio, C₃-C₈-cycloalkyloxy, C₃-C₈-cycloalkylthio, C₂-C₇-alkoxycarbonyl, aminocarbonyl, C₂-C₇-alkylaminocarbonyl, C₃-C₁₃-dialkylaminocarbonyl, carboxy, phenyl, phenoxy, phenylthio, pyridyloxy, pyridylthio, and NR⁵R⁶, wherein

R⁵ and R⁶ are selected independently of each other from the group consisting of hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkinyl, benzyl and phenyl;

R² is selected from the group consisting of hydrogen, halogen, cyano, C₁-C₆-alkyl, trifluoromethyl, hydroxy, C₁-C₆-alkoxy, benzyloxy and C₁-C₇-alkanoyloxy;

R¹ and R², if adjacent, may form a bridge selected from - (CH₂)₄- and - (CH=CH)₂- or CH₂O-CR⁷R⁸-O-, wherein R⁷ and R⁸ are selected independently from each other from hydrogen and C₁-C₆-alkyl;

R³ is selected from the group consisting of hydrogen, halogen, C₁-C₆-alkyl, trifluoromethyl and C₁-C₆-hydroxyalkyl;

R⁴ is selected from the group consisting of hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkinyl, C₃-C₆-cycloalkyl, hydroxy, C₁-C₆-alkoxy and benzyloxy;

k is 0 or 1,

A is selected from the group consisting of C₁-C₆-alkylene,

B' a substituted C₁-C₆-alkylene which is substituted one to three-fold by C₁-C₃-alkyl, hydroxy, C₁-C₃-alkoxy, fluorine, or phenyl,

C₂-C₆-alkylene, in which a methylene unit is isosterically replaced by O, S, NR⁹, CO, SO or SO₂, wherein, with the exception of CO, the isosteric substitution is not adjacent to the amide group and R⁹ is selected from the group consisting of hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkinyl, C₁-C₆-acyl and C₁-C₆-alkanesulfonyl,

1,2-cyclopropylene,

C₂-C₆-alkenylene,

a substituted C₂-C₆-alkenylene which is substituted once to three-fold by C₁-C₃-alkyl, hydroxy, C₁-C₃-alkoxy, fluorine, cyano or phenyl,

C₄-C₆-alkadienylene,

a substituted C₄-C₆-alkadienylene which is substituted once or twice by C₁-C₃-alkyl, fluorine, cyano or phenyl;

1,3,5-hexatrienylene,

a 1,3,5-hexatrienylene which is substituted by C₁-C₃-alkyl, fluorine, cyano or phenyl, and

ethynylene,

D is selected from the group consisting of C₂-C₁₀-

B' alkylene,

a substituted C₂-C₁₀-alkylene which is substituted once or twice by C₁-C₆-alkyl, hydroxy, or C₁-C₆-alkoxy;

C₄-C₁₀-alkenylene,

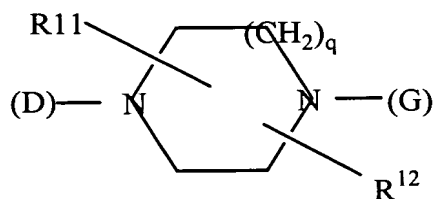
a substituted C₄-C₁₀-alkenylene which is substituted once or twice by C₁-C₆-alkyl, hydroxy, or C₁-C₆-alkoxy;

C₄-C₁₀-alkynylene,

a substituted C₄-C₁₀-alkynylene which is substituted once or twice by C₁-C₆-alkyl, hydroxy, or C₁-C₆-alkoxy; and

C₂-C₁₀-alkylene, C₄-C₁₀-alkenylene or C₄-C₁₀-alkynylene, in which one to three methylene units are isosterically replaced by O, S, NR¹⁰, CO, SO, or SO₂, wherein R¹⁰ has the same meaning as R⁹, but is selected independently thereof;

E is



wherein

q is 1, 2, or 3;

R¹¹ is selected from the group consisting of hydrogen C₁-C₆-alkyl, hydroxy, hydroxymethyl, carboxy, or C₂-C₇-

alkoxycarbonyl,

B' R¹² is selected from the group consisting of hydrogen, C₁-C₆-alkyl and an oxo group adjacent to a nitrogen atom,

and wherein R¹¹ and R¹² may together form a C₁-C₃-alkylene bridge under formation of a bicyclic ring system;

G is selected from the group consisting of G1, G2, G3, G4, and G5, wherein

G¹ is $-(CH_2)_r-(CR^{14}R^{15})_s-R^{13}$

r is 0, 1, 2 or 3,

s is 0 or 1,

R¹³ is selected from the group consisting of hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkinyl, C₃-C₈-cycloalkyl,

saturated or unsaturated four to eight-membered heterocycles,

saturated or unsaturated four to eight-membered heterocycles which contain one or two hetero-atoms selected from the group consisting of N, S and O,

benzyl, phenyl,

monocyclic aromatic five or six-membered heterocycles which contain one to three hetero-atoms selected from the group consisting of N, S and O where the heterocycles are

either bound directly or over a methylene group,

B' anellated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein the linkage may occur either over an aromatic or a hydrogenated ring and either directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein one to three ring atoms are selected from the group consisting of N, S and O and the linkage may occur either over an aromatic ring or a hydrogenated ring and either directly or over a methylene group,

R^{14} has the same meaning as R^{13} , but is selected independently thereof;

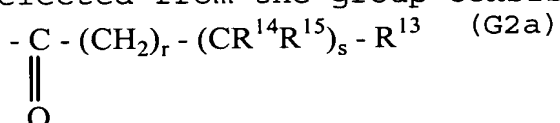
R^{15} is selected from the group consisting of hydrogen, hydroxy, methyl, benzyl, and phenyl,

monocyclic aromatic five or six-member heterocycles, which contain one to three hetero-atoms selected from the group consisting of N, S and O and wherein the heterocycles are either bound directly or over a methylene group,

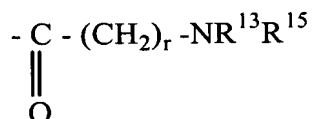
anellated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein the linkage occurs either over an aromatic or a hydrogenated ring and either directly or over a methylene group,

B' anellated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein one to three ring atoms are selected from the group consisting of N, S and O and the linkage occurs either over an aromatic ring or a hydrogenated ring and either directly or over a methylene group,

G² is selected from the group consisting of



and



wherein r, s and the substituents R¹³ to R¹⁵ can have the above meaning, or the group -NR¹³R¹⁵ is a nitrogen containing heterocycle,

wherein -NR¹³R¹⁵ is a nitrogen-containing heterocycle bound over the nitrogen atom selected from the group consisting of

saturated or unsaturated monocyclic, four to eight-membered nitrogen-containing heterocycles,

saturated or unsaturated monocyclic, four to eight-membered nitrogen-containing heterocycles which, aside from the essential nitrogen atom, contain one or two further hetero-atoms selected from the group consisting of N, S and O,

saturated or unsaturated bi- or tricyclic anellated or

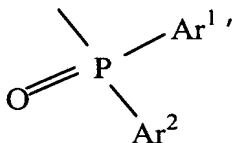
B' bridged nitrogen-containing heterocycles with 8 to 16 ring atoms,

saturated or unsaturated bi- or tricyclic anellated or bridged nitrogen-containing heterocycles with 8 to 16 ring atoms which aside from the essential nitrogen atom, contain one or two further hetero-atoms that are selected from N, S and O;

G^3 is $-\text{SO}_2-(\text{CH}_2)_r-\text{R}^{13}$

wherein r and R^{13} have the above meanings,

G^4 is



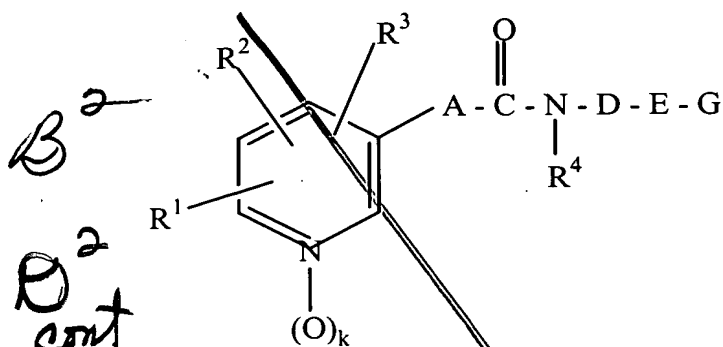
wherein

Ar^1 and Ar^2 are selected independently from each other from the group consisting of phenyl, pyridyl and naphthyl,

G^5 is $-\text{COR}^{16}$,

R^{16} is selected from the group consisting of trifluoromethyl, $\text{C}_1\text{-C}_6$ -alkoxy, $\text{C}_3\text{-C}_6$ -alkenyloxy, and benzyloxy.

B2
sub
D2
12. (twice amended) A pharmaceutical composition comprising the compound of formula (I)



(I)

wherein:

R^1 is selected from the group consisting of hydrogen, halogen, cyano, C_1 - C_6 -alkyl, C_3 - C_6 -alkenyl, C_2 - C_6 -alkinyl, trifluoromethyl, C_3 - C_8 -cycloalkyl, C_1 - C_6 -hydroxyalkyl, hydroxy, C_1 - C_6 -alkoxy, C_3 - C_6 -alkenyloxy, C_3 - C_6 -alkinyloxy, benzyloxy, C_1 - C_7 -alkanoyloxy, C_2 - C_7 -alkoxycarbonyloxy, C_1 - C_6 -alkylthio, C_3 - C_6 -alkenylthio, C_3 - C_6 -alkinylthio, C_3 - C_8 -cycloalkyloxy, C_3 - C_8 -cycloalkylthio, C_2 - C_7 -alkoxycarbonyl, aminocarbonyl, C_2 - C_7 -alkylaminocarbonyl, C_3 - C_{13} -dialkylaminocarbonyl, carboxy, phenyl, phenoxy, phenylthio, pyridyloxy, pyridylthio, and NR^5R^6 , wherein

R^5 and R^6 are selected independently of each other from the group consisting of hydrogen, C_1 - C_6 -alkyl, C_3 - C_6 -alkenyl, C_3 - C_6 -alkinyl, benzyl and phenyl;

R^2 is selected from the group consisting of hydrogen, halogen, cyano, C_1 - C_6 -alkyl, trifluoromethyl, hydroxy, C_1 - C_6 -alkoxy, benzyloxy and C_1 - C_7 -alkanoyloxy;

R^3 is selected from the group consisting of hydrogen,

halogen, C₁-C₆-alkyl, trifluoromethyl and C₁-C₆-hydroxyalkyl;

B²
D²
cont
R⁴ is selected from the group consisting of hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkinyl, C₃-C₆-cycloalkyl, hydroxy, C₁-C₆-alkoxy and benzyloxy;

k is 0 or 1,

A is selected from the group consisting of C₁-C₆-alkylene,

a substituted C₁-C₆-alkylene which is substituted one to three-fold by C₁-C₃-alkyl, hydroxy, C₁-C₃-alkoxy, fluorine, or phenyl,

C₂-C₆-alkylene, in which a methylene unit is isosterically replaced by O, S, NR⁹, CO, SO or SO₂, wherein, with the exception of CO, the isosteric substitution is not adjacent to the amide group and R⁹ is selected from the group consisting of hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkinyl, C₁-C₆-acyl and C₁-C₆-alkanesulfonyl,

1,2-cyclopropylene,

C₂-C₆-alkenylene,

a substituted C₂-C₆-alkenylene which is substituted once to three-fold by C₁-C₃-alkyl, hydroxy, C₁-C₃-alkoxy, fluorine, cyano or phenyl,

C₄-C₆-alkadienylene,

B²
D²
ent

a substituted C₄-C₆-alkadienylene which is substituted once or twice by C₁-C₃-alkyl, fluorine, cyano or phenyl;

1,3,5-hexatrienylene,

a 1,3,5-hexatrienylene which is substituted by C₁-C₃-alkyl, fluorine, cyano or phenyl, and

ethynylene,

D is selected from the group consisting of C₂-C₁₀-alkylene,

a substituted C₂-C₁₀-alkylene which is substituted once or twice by C₁-C₆-alkyl, hydroxy, or C₁-C₆-alkoxy;

C₄-C₁₀-alkenylene,

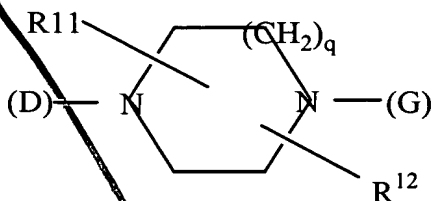
a substituted C₄-C₁₀-alkenylene which is substituted once or twice by C₁-C₆-alkyl, hydroxy, or C₁-C₆-alkoxy;

C₄-C₁₀-alkynylene,

a substituted C₄-C₁₀-alkynylene which is substituted once or twice by C₁-C₆-alkyl, hydroxy, or C₁-C₆-alkoxy; and

C₂-C₁₀-alkylene, C₄-C₁₀-alkenylene or C₄-C₁₀-alkynylene, in which one to three methylene units are isosterically replaced by O, S, NR¹⁰, CO, SO, or SO₂, wherein R¹⁰ has the same meaning as R⁹, but is selected independently thereof;

E is



wherein

q is 1, 2, or 3;

R¹¹ is selected from the group consisting of hydrogen C₁-C₆-alkyl, hydroxy, hydroxymethyl, carboxy, or C₂-C₇-alkoxycarbonyl,

R¹² is selected from the group consisting of hydrogen, C₁-C₆-alkyl and an oxo group adjacent to a nitrogen atom,

G is selected from the group consisting of G₁, G₂, G₃, G₄, and G₅, wherein

G¹ is $-(CH_2)_r-(CR^{14}R^{15})_s-R^{13}$

r is 0 to 3,

s is 0 or 1,

R¹³ is selected from the group consisting of hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkinyl, C₃-C₈-cycloalkyl,

saturated or unsaturated four to eight-membered heterocycles,

B²
D²
cont

saturated or unsaturated four to eight-membered heterocycles which contain one or two hetero-atoms selected from the group consisting of N, S and O,

benzyl, phenyl,

monocyclic aromatic five or six-membered heterocycles,

monocyclic aromatic five or six-membered heterocycles which contain one to three hetero-atoms selected from the group consisting of N, S and O where the heter-atoms and are either bound directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein the linkage occurs either over an aromatic or a hydrogenated ring and either directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein one to three ring atoms are selected from the group consisting of N, S and O and the linkage can occur either over an aromatic ring or a hydrated ring and either directly or over a methylene group,

R¹⁴ has the same meaning as R¹³, but is selected independently thereof;

R¹⁵ is selected from the group consisting of hydrogen, hydroxy, methyl, benzyl, and phenyl,

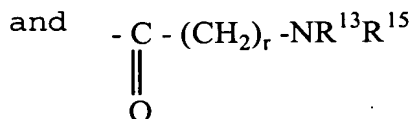
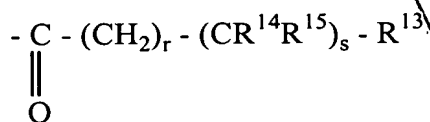
B²
D²
cont

monocyclic aromatic five or six-member heterocycles, which contain one to three hetero-atoms selected from the group consisting of N, S and O and wherein the hetero-atoms are either bound directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein the linkage occurs either over an aromatic or a hydrogenated ring and either directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein one to three ring atoms are selected from the group consisting of N, S and O and the linkage occurs either over an aromatic ring or a hydrated ring and either directly or over a methylene group,

G² is selected from the group consisting of



wherein r, s and the substituents R¹³ to R¹⁵ can have the above meaning, or the group -NR¹³R¹⁵,

wherein -NR¹³R¹⁵ is a nitrogen-containing heterocycle bound over the nitrogen atom selected from the group consisting of

B²
D²
cont

saturated or unsaturated monocyclic, four to eight-membered nitrogen-containing heterocycles,

saturated or unsaturated monocyclic, four to eight-membered nitrogen-containing heterocycles which, aside from the essential nitrogen atom, contain one or two further hetero-atoms selected from the group consisting of N, S and O,

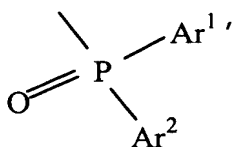
saturated or unsaturated bi- or tricyclic anellated or bridged nitrogen-containing heterocycles with 8 to 16 ring atoms,

saturated or unsaturated bi- or tricyclic anellated or bridged nitrogen-containing heterocycles with 8 to 16 ring atoms which aside from the essential nitrogen atom, contain one or two further hetro-atoms that are selected from N, S and O;

G³ is -SO₂-(CH₂)_r-R¹³ (G3)

wherein r and R¹³ have the above meanings,

G⁴ is



wherein

Ar¹ and Ar² are selected independently from each other from phenyl, pyridyl or naphthyl,

G^5 is $-COR^{16}$ (G5)

R^{16} is selected from the group consisting of trifluoromethyl, C_1 - C_6 -alkoxy, C_3 - C_6 -alkenyloxy, and benzyloxy,

wherein G is not $-(CH_2)_r-(CR^{14}R^{15})_s-R^{13}$ (G1) when R^{13} represents pyridyl or phenyl, substituted by halogen, alkyl, alkoxy or trifluoromethyl,

R^{14} represents hydrogen or phenyl, substituted by halogen, alkyl, alkoxy or trifluoromethyl,

R^{15} represents hydrogen,

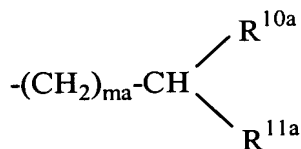
A represents alkylene, substituted ethenylene or butadienylene,

D represents alkylene or alkenylene,

E represents piperazine or homopiperazine, and

S is 1;

G is not



phenyl, and N-containing heteroaryl when: R^{10a} is hydrogen or phenyl, R^{11a} is a phenyl or a pyridyl, and ma is an integer of 0 to 2; when

R^1 is hydrogen, a halogen, a C_1 - C_6 -alkyl, a C_1 - C_6 -alkoxy, a C_1 - C_6 -alkylthio, a C_3 - C_8 -cycloalkyloxy, a C_3 - C_8 -cycloalkylthio, a C_2 - C_7 -alkoxycarbonyl, carboxy, a phenyl, a phenoxy, a phenylthio, 3-pyridyloxy or 3-pyridylthio;

R^2 is hydrogen, a hydroxy, a C_1 - C_7 -alkanoyloxy or a C_2 - C_7 -alkoxycarbonyloxy, or when R^1 and R^2 are adjacent to each

B2
D2
cont
other, they may combine to form tetramethylene or
-CH₂OCR^{8a}R^{9a}O-, wherein R^{8a} and R^{9a} are the same or
different and are each a C₁-C₆-alkyl;

R³ is hydrogen, a C₁-C₆-alkyl or a hydroxy-C₁-C₆-alkyl;

A is a C₁-C₆-alkylene or -(CR^{6a}=CR^{7a})ra-, wherein R^{6a} is
hydrogen, a C₁-C₆-alkyl or a phenyl, R^{7a} is hydrogen, a C₁-
C₆-alkyl, cyano or a phenyl, and ra is 1 or 2;

R⁴ is hydrogen;

D is a C₁-C₁₀-alkylene or a C₄-C₁₀-alkylene interrupted by at
least one double bond; and

E is selected from the group consisting of piperazine,
piperazine, which is substituted by C₁-C₆-alkyl,
homopiperazine, and homopiperazine, which is substituted
by C₁-C₆-alkyl.

B3
14. (twice amended) The pharmaceutical composition
according to claim 12, wherein the pharmaceutical composition
is present in a solid, peroral administrable form as a tablet,
capsule, coated tablet, or as a liquid, peroral administration
solution, suspension, effervescent tablet, in the form of tabs
or sachets, which may be in the form of a suitable injection
or infusion preparation together with suitable
pharmaceutically acceptable carriers and adjuvants, in the
form of a concentrate, powder or lyophilisate, in the form of
a transdermal therapeutic system for systemic treatment, in
the form of a gastrointestinal therapeutic system (GITS) for
systemic treatment, in the form of a salve, suspension,
emulsion, a balm or plaster or in the form of an externally
applicable solution, in the form of a rectal, genital, or
transurethral administration emulsion, a solution, a liposomal
solution, an implant, suppository or a capsule, in the form of
a composition capable of being applied nasally, otologically

B³

or ophthalmologically, or in a buccally applicable form.

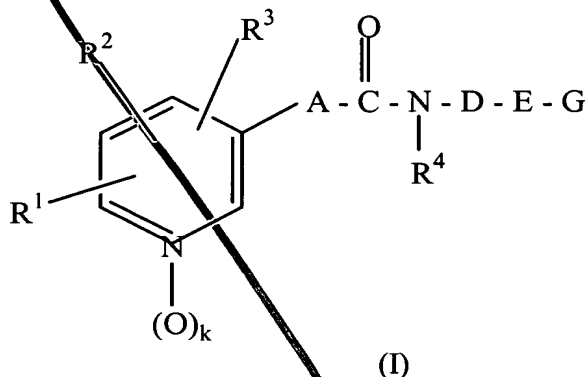
B⁴

24. The pharmaceutical composition according to claim 12, wherein a dosage unit for single administration contains about 0.001 to about 5000 mg active ingredient.

PLEASE ADD THE FOLLOWING NEW CLAIMS:

B⁵

32. A pharmaceutical composition comprising the compound of formula (I)



wherein

R¹ is selected from the group consisting of hydrogen, halogen, cyano, C₁-C₆-alkyl, trifluoromethyl, C₃-C₈-cycloalkyl, C₁-C₆-hydroxyalkyl, hydroxy, C₁-C₄-alkoxy, benzyloxy, C₁-C₄-alkylthio, C₁-C₅-alkanoyloxy, C₁-C₄-alkylthio, C₂-C₅-alkoxycarbonyl, aminocarbonyl, C₂-C₅-alkylaminocarbonyl, C₃-C₉-dialkylaminocarbonyl, carboxy, phenyl, phenoxy, phenylthio, pyridyloxy, and NR⁵R⁶, wherein

R⁵ and R⁶ are selected independently of each other from hydrogen and C₁-C₆-alkyl;

R² is selected from the group consisting of hydrogen,

B5
D³
cont

halogen, cyano, C₁-C₆-alkyl, trifluoromethyl, hydroxy, and C₁-C₄-alkoxy;

R³ is selected from the group consisting of hydrogen, halogen and C₁-C₆-alkyl;

R⁴ is selected from the group consisting of hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-cycloalkyl, hydroxy, C₁-C₆-alkoxy and benzyloxy;

k is 0 or 1,

A is selected from the group consisting of C₁-C₆-alkylene,

a substituted C₁-C₆-alkylene which is substituted one to three-fold by C₁-C₃-alkyl, hydroxy, fluorine, or phenyl,

C₂-C₆-alkylene, in which a methylene unit is isosterically replaced by O, S, NR⁹, CO, SO or SO₂, wherein, with the exception of CO, the isosteric substitution is not adjacent to the amide group and, the residue R⁹, is selected from the group consisting of hydrogen, C₁-C₆-alkyl, C₁-C₆-acyl and methane sulfonyl;

1,2-cyclopropylene,

C₂-C₆-alkenylene,

a substituted C₂-C₆-alkenylene which is substituted once to three-fold by C₁-C₃-alkyl, hydroxy, fluorine, cyano or phenyl,

B5
D3
cont

~~C₄-C₆-alkadienylene,~~

~~a substituted C₄-C₆-alkadienylene which is substituted once to twice by C₁-C₃-alkyl, fluorine, cyano or phenyl;~~

~~1,3,5-hexatrienylene,~~

~~a substituted 1,3,5-hexatrienylene which is substituted by C₁-C₃-alkyl, fluorine, cyano, and~~

~~ethynylene,~~

~~D is selected from the group consisting of C₂-C₁₀-alkylene,~~

~~a substituted C₂-C₁₀-alkylene which is substituted once or twice by C₁-C₃-alkyl or hydroxy;~~

~~C₄-C₁₀-alkenylene,~~

~~a substituted C₄-C₁₀-alkenylene which is substituted once or twice by C₁-C₃-alkyl or hydroxy;~~

~~C₄-C₁₀-alkynylene,~~

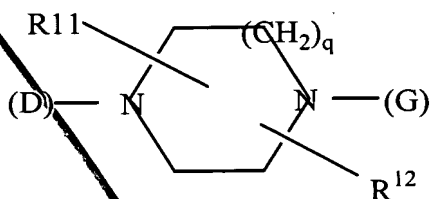
~~a substituted C₄-C₁₀-alkynylene which is substituted once or twice by C₁-C₃-alkyl or hydroxy; and~~

~~C₂-C₁₀-alkylene, C₄-C₁₀-alkenylene or C₄-C₁₀-alkynylene, wherein one to three methylene units are isosterically replaced by O, S, NR¹⁰, CO, SO, or SO₂, wherein~~

B⁵
D³
cont

R¹⁰ has the same meaning as R⁹, but is selected independently thereof;

E is



wherein

q is 1, 2, or 3;

R¹¹ is selected from the group consisting of hydrogen C₁-C₃-alkyl, hydroxy, hydroxymethyl, carboxy, and C₂-C₇-alkoxycarbonyl and

R¹² is selected from the group consisting of hydrogen, and an oxo group adjacent to a nitrogen atom,

and wherein R¹¹ and R¹² may together form a C₁-C₃-alkylene bridge under formation of a bicyclic ring system;

G is selected from the group consisting of G1, G2, G3, G4, and G5, wherein

G¹ is $-(CH_2)_r-(CR^{14}R^{15})_s-R^{13}$

r is 0, 1 or 2,

s is 0 or 1,

035
D3
cont
R¹³ is selected from the group consisting of hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkinyl, C₃-C₈-cycloalkyl; benzyl, phenyl;

monocyclic aromatic five or six-membered heterocycles, which contain one to three hetero-atoms selected from the group consisting of N, S and O, wherein the heterocycles are either bound directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein the linkage occurs either over an aromatic or a hydrogenated ring and either directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein one to three ring atoms are selected from the group consisting of N, S and O, wherein the linkage occurs either over an aromatic ring or a hydrogenated ring and either directly or over a methylene group,

R¹⁴ has the same meaning as R¹³, but is selected independently thereof;

R¹⁵ is selected from the group consisting of hydrogen, hydroxy, methyl, benzyl, phenyl,

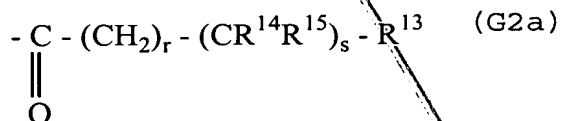
monocyclic aromatic five or six-membered heterocycles, which contain one to three hetero-atoms selected from the group consisting of N, S and O, wherein the heterocycles are

either bound directly or over a methylene group,

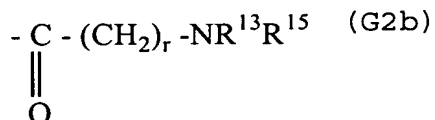
anellated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein the linkage occurs either over an aromatic or a hydrogenated ring and either directly or over a methylene group, and

anellated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein one to three ring atoms can be selected from N, S and O and the linkage may occur either over an aromatic ring or a hydrogenated ring and either directly or over a methylene group;

G² is selected from the group consisting of



and



wherein r, s and the substituents R¹³ to R¹⁵ can have the above meaning, or the group -NR¹³R¹⁵ is a nitrogen containing heterocycle,

wherein -NR¹³R¹⁵ is a nitrogen-containing heterocycle bound over the nitrogen atom, the nitrogen-containing heterocycle selected from the group consisting of

saturated or unsaturated monocyclic, four to eight-

membered heterocycles,

5
3
cont
saturated or unsaturated monocyclic, four to eight-membered heterocycles which aside from the essential nitrogen atom contain one or two further hetero-atoms selected from the group consisting of N, S and O,

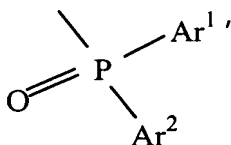
saturated or unsaturated bi- or tricyclic anellated or bridged heterocycles with 8 to 16 ring atoms, and

saturated or unsaturated bi- or tricyclic anellated or bridged heterocycles with 8 to 16 ring atoms that aside from the essential nitrogen atom, contain one or two further hetero-atoms that are selected from the group consisting of N, S and O;

G^3 is $-\text{SO}_2-(\text{CH}_2)_r-\text{R}^{13}$

wherein r and R^{13} have the above meaning,

G^4 is



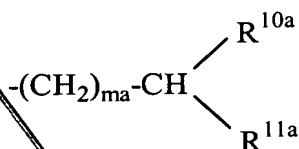
wherein

Ar^1 and Ar^2 are be selected independently from each other from the group consisting of phenyl, pyridyl and naphthyl,

G^5 is $-\text{COR}^{16}$

BS
D3
cont
R¹⁶ is selected from the group consisting of trifluoromethyl, C₁-C₆-alkoxy, C₃-C₆-alkenyloxy, and benzyloxy,

wherein G is not



phenyl, and N-containing heteroaryl when: R^{10a} is hydrogen or phenyl, R^{11a} is a phenyl or a pyridyl, and ma is an integer of 0 to 2; when

R¹ is hydrogen, a halogen, a C₁-C₆-alkyl, a C₁-C₆-alkoxy, a C₁-C₆-alkylthio, a C₃-C₈-cycloalkyloxy, a C₃-C₈-cycloalkylthio, a C₂-C₇-alkoxycarbonyl, carboxy, a phenyl, a phenoxy, a phenylthio, 3-pyridyloxy or 3-pyridylthio;

R² is hydrogen, a hydroxy, a C₁-C₇-alkanoyloxy or a C₂-C₇-alkoxycarbonyloxy, or when R¹ and R₂ are adjacent to each other, they may combine to form tetramethylene or -CH₂OCR^{8a}R^{9a}O-, wherein R^{8a} and R^{9a} are the same or

difference and are each a C₁-C₆-alkyl;

R³ is hydrogen, a C₁-C₆-alkyl or a hydroxy-C₁-C₆-alkyl;

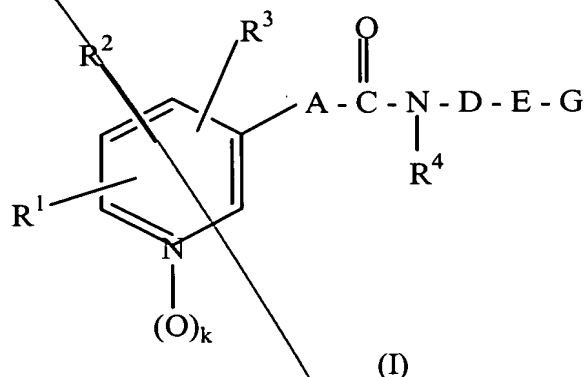
A is a C₁-C₆-alkylene or -(CR^{6a}=CR^{7a})ra-, wherein R^{6a} is hydrogen, a C₁-C₆-alkyl or a phenyl, R^{7a} is hydrogen, a C₁-C₆-alkyl, cyano or a phenyl, and ra is 1 or 2;

R⁴ is hydrogen;

D is a C₁-C₁₀-alkylene or a C₄-C₁₀-alkylene interrupted by at least one double bond; and

E is selected from the group consisting of piperazine, piperazine, which is substituted by C₁-C₆-alkyl, homopiperazine, and homopiperazine, which is substituted by C₁-C₆-alkyl.

39. A method of inhibiting tumor cell growth in a human or animal body comprising administering to the human or animal body in need thereof an amount of a pharmaceutical composition effective for inhibiting tumor cell growth, wherein the pharmaceutical composition includes a compound of general formula (I)



wherein:

R^1 is selected from the group consisting of hydrogen, halogen, cyano, C_1 - C_6 -alkyl, C_3 - C_6 -alkenyl, C_2 - C_6 -alkinyl, trifluoromethyl, C_3 - C_8 -cycloalkyl, C_1 - C_6 -hydroxyalkyl, hydroxy, C_1 - C_6 -alkoxy, C_3 - C_6 -alkenyloxy, C_3 - C_6 -alkinyloxy, benzyloxy, C_1 - C_7 -alkanoyloxy, C_2 - C_7 -alkoxycarbonyloxy, C_1 - C_6 -alkylthio, C_3 - C_6 -alkenylthio, C_3 - C_6 -alkinylthio, C_3 - C_8 -cycloalkyloxy, C_3 - C_8 -cycloalkylthio, C_2 - C_7 -alkoxycarbonyl, aminocarbonyl, C_2 - C_7 -alkylaminocarbonyl, C_3 - C_{13} -dialkylaminocarbonyl, carboxy, phenyl, phenoxy, phenylthio, pyridyloxy, pyridylthio, and NR^5R^6 , wherein

R^5 and R^6 are selected independently of each other from the group consisting of hydrogen, C_1 - C_6 -alkyl, C_3 - C_6 -alkenyl, C_3 - C_6 -alkinyl, benzyl and phenyl;

R^2 is selected from the group consisting of hydrogen,

BS
halogen, cyano, C₁-C₆-alkyl, trifluoromethyl, hydroxy, C₁-C₆-alkoxy, benzyloxy and C₁-C₇-alkanoyloxy;

R³ is selected from the group consisting of hydrogen, halogen, C₁-C₆-alkyl, trifluoromethyl and C₁-C₆-hydroxyalkyl;

Sub E4
R⁴ is selected from the group consisting of hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkinyl, C₃-C₆-cycloalkyl, hydroxy, C₁-C₆-alkoxy and benzyloxy;

k is 0 or 1,

A is selected from the group consisting of C₁-C₆-alkylene,

a substituted C₁-C₆-alkylene which is substituted one to three-fold by C₁-C₃-alkyl, hydroxy, C₁-C₃-alkoxy, fluorine, or phenyl,

C₂-C₆-alkylene, in which a methylene unit is isosterically replaced by O, S, NR⁹, CO, SO or SO₂, wherein, with the exception of CO, the isosteric substitution is not adjacent to the amide group and R⁹ is selected from the group consisting of hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkinyl, C₁-C₆-acyl and C₁-C₆-alkanesulfonyl,

1,2-cyclopropylene,

C₂-C₆-alkenylene,

a substituted C₂-C₆-alkenylene which is substituted once to three-fold by C₁-C₃-alkyl, hydroxy, C₁-C₃-alkoxy, fluorine,

~~ES~~ cyano or phenyl,

~~C₄-C₆-alkadienylene,~~

~~a substituted C₄-C₆-alkadienylene which is substituted once or twice by C₁-C₃-alkyl, fluorine, cyano or phenyl;~~

~~sub E4 1,3,5-hexatrienylene,~~

~~a 1,3,5-hexatrienylene which is substituted by C₁-C₃-alkyl, fluorine, cyano or phenyl, and~~

~~ethynylene,~~

~~D is selected from the group consisting of C₂-C₁₀-alkylene,~~

~~a substituted C₂-C₁₀-alkylene which is substituted once or twice by C₁-C₆-alkyl, hydroxy, or C₁-C₆-alkoxy;~~

~~C₄-C₁₀-alkenylene,~~

~~a substituted C₄-C₁₀-alkenylene which is substituted once or twice by C₁-C₆-alkyl, hydroxy, or C₁-C₆-alkoxy;~~

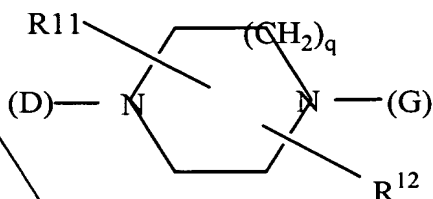
~~C₄-C₁₀-alkynylene,~~

~~a substituted C₄-C₁₀-alkynylene which is substituted once or twice by C₁-C₆-alkyl, hydroxy, or C₁-C₆-alkoxy; and~~

~~C₂-C₁₀-alkylene, C₄-C₁₀-alkenylene or C₄-C₁₀-alkynylene, in which one to three methylene units are isosterically replaced~~

~~by O, S, NR¹⁰, CO, SO, or SO₂, wherein R¹⁰ has the same meaning as R⁹, but is selected independently thereof;~~

E is



wherein

q is 1, 2, or 3;

R¹¹ is selected from the group consisting of hydrogen C₁-C₆-alkyl, hydroxy, hydroxymethyl, carboxy, or C₂-C₇-alkoxycarbonyl,

R¹² is selected from the group consisting of hydrogen, C₁-C₆-alkyl and an oxo group adjacent to a nitrogen atom,

G is selected from the group consisting of G1, G2, G3, G4, and G5, wherein

G¹ is $-(CH_2)_r-(CR^{14}R^{15})_s-R^{13}$

r is 0 to 3,

s is 0 or 1,

R¹³ is selected from the group consisting of hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkinyl, C₃-C₈-cycloalkyl,

~~Sub E4~~
~~saturated or unsaturated four to eight-membered heterocycles,~~

~~saturated or unsaturated four to eight-membered heterocycles which contain one or two hetero-atoms selected from the group consisting of N, S and O,~~

~~benzyl, phenyl,~~

~~monocyclic aromatic five or six-membered heterocycles,~~

~~monocyclic aromatic five or six-membered heterocycles which contain one to three hetero-atoms selected from the group consisting of N, S and O where the heter-atoms and are either bound directly or over a methylene group,~~

~~anellated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein the linkage occurs either over an aromatic or a hydrogenated ring and either directly or over a methylene group,~~

~~anellated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein one to three ring atoms are selected from the group consisting of N, S and O and the linkage can occur either over an aromatic ring or a hydrated ring and either directly or over a methylene group,~~

~~R¹⁴ has the same meaning as R¹³, but is selected independently thereof;~~

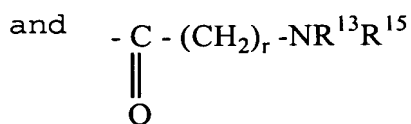
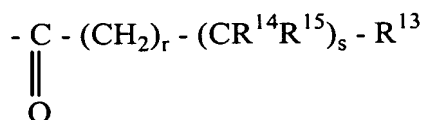
~~R^{15} is selected from the group consisting of hydrogen, hydroxy, methyl, benzyl, and phenyl,~~

~~monocyclic aromatic five or six-member heterocycles, which contain one to three hetero-atoms selected from the group consisting of N, S and O and wherein the hetero-atoms are either bound directly or over a methylene group,~~

Sub E4 ~~anellated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein the linkage occurs either over an aromatic or a hydrogenated ring and either directly or over a methylene group,~~

~~anellated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein one to three ring atoms are selected from the group consisting of N, S and O and the linkage occurs either over an aromatic ring or a hydrated ring and either directly or over a methylene group,~~

G^2 is selected from the group consisting of



wherein r , s and the substituents R^{13} to R^{15} can have the above meaning, or the group $-NR^{13}R^{15}$,

Sub E4
wherein $-NR^{13}R^{15}$ is a nitrogen-containing heterocycle bound over the nitrogen atom selected from the group consisting of

saturated or unsaturated monocyclic, four to eight-membered nitrogen-containing heterocycles,

saturated or unsaturated monocyclic, four to eight-membered nitrogen-containing heterocycles which, aside from the essential nitrogen atom, contain one or two further hetero-atoms selected from the group consisting of N, S and O,

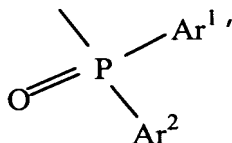
saturated or unsaturated bi- or tricyclic anellated or bridged nitrogen-containing heterocycles with 8 to 16 ring atoms,

saturated or unsaturated bi- or tricyclic anellated or bridged nitrogen-containing heterocycles with 8 to 16 ring atoms which aside from the essential nitrogen atom, contain one or two further hetero-atoms that are selected from N, S and O;

G^3 is $-SO_2-(CH_2)_r-R^{13}$ (G^3)

wherein r and R^{13} have the above meanings,

G^4 is



wherein

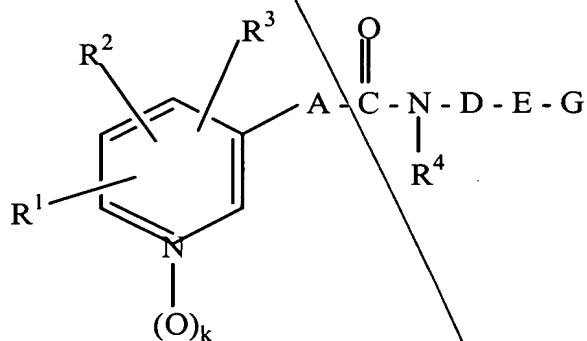
Ar^1 and Ar^2 are selected independently from each other

from phenyl, pyridyl or naphthyl,

G^5 is $-COR^{16}$

R^{16} is selected from the group consisting of trifluoromethyl, C_1 - C_6 -alkoxy, C_3 - C_6 -alkenyloxy, and benzyloxy.

34. A method of suppressing autoimmune diseases in a human or animal body comprising administering to the human or animal body in need thereof an amount of a pharmaceutical composition effective for suppressing autoimmune reactions, wherein the pharmaceutical composition includes a compound of general formula (I)



(I)

wherein:

R^1 is selected from the group consisting of hydrogen, halogen, cyano, C_1 - C_6 -alkyl, C_3 - C_6 -alkenyl, C_2 - C_6 -alkinyl, trifluoromethyl, C_3 - C_8 -cycloalkyl, C_1 - C_6 -hydroxyalkyl, hydroxy, C_1 - C_6 -alkoxy, C_3 - C_6 -alkenyloxy, C_3 - C_6 -alkinyloxy, benzyloxy, C_1 - C_7 -alkanoyloxy, C_2 - C_7 -alkoxycarbonyloxy, C_1 - C_6 -alkylthio, C_3 - C_6 -alkenylthio, C_3 - C_6 -alkinylthio, C_3 - C_8 -cycloalkyloxy, C_3 - C_8 -cycloalkylthio, C_2 - C_7 -alkoxycarbonyl, aminocarbonyl, C_2 - C_7 -alkylaminocarbonyl, C_3 - C_{13} -dialkylaminocarbonyl, carboxy,

phenyl, phenoxy, phenylthio, pyridyloxy, pyridylthio, and NR^5R^6 , wherein

ES
 R^5 and R^6 are selected independently of each other from the group consisting of hydrogen, $\text{C}_1\text{-C}_6\text{-alkyl}$, $\text{C}_3\text{-C}_6\text{-alkenyl}$, $\text{C}_3\text{-C}_6\text{-alkinyl}$, benzyl and phenyl;

Sub E4
 R^2 is selected from the group consisting of hydrogen, halogen, cyano, $\text{C}_1\text{-C}_6\text{-alkyl}$, trifluoromethyl, hydroxy, $\text{C}_1\text{-C}_6\text{-alkoxy}$, benzyloxy and $\text{C}_1\text{-C}_7\text{-alkanoyloxy}$;

R^3 is selected from the group consisting of hydrogen, halogen, $\text{C}_1\text{-C}_6\text{-alkyl}$, trifluoromethyl and $\text{C}_1\text{-C}_6\text{-hydroxyalkyl}$;

R^4 is selected from the group consisting of hydrogen, $\text{C}_1\text{-C}_6\text{-alkyl}$, $\text{C}_3\text{-C}_6\text{-alkenyl}$, $\text{C}_3\text{-C}_6\text{-alkinyl}$, $\text{C}_3\text{-C}_6\text{-cycloalkyl}$, hydroxy, $\text{C}_1\text{-C}_6\text{-alkoxy}$ and benzyloxy;

k is 0 or 1,

A is selected from the group consisting of $\text{C}_1\text{-C}_6\text{-alkylene}$,

a substituted $\text{C}_1\text{-C}_6\text{-alkylene}$ which is substituted one to three-fold by $\text{C}_1\text{-C}_3\text{-alkyl}$, hydroxy, $\text{C}_1\text{-C}_3\text{-alkoxy}$, fluorine, or phenyl,

$\text{C}_2\text{-C}_6\text{-alkylene}$, in which a methylene unit is isosterically replaced by O, S, NR^9 , CO, SO or SO_2 , wherein, with the exception of CO, the isosteric substitution is not adjacent to the amide group and R^9 is selected from the group consisting of hydrogen, $\text{C}_1\text{-C}_6\text{-alkyl}$, $\text{C}_3\text{-C}_6\text{-alkenyl}$, $\text{C}_3\text{-C}_6\text{-alkinyl}$, $\text{C}_1\text{-C}_6\text{-acyl}$ and $\text{C}_1\text{-C}_6\text{-alkanesulfonyl}$,

BS
1,2-cyclopropylene,

C₂-C₆-alkenylene,

a substituted C₂-C₆-alkenylene which is substituted once to three-fold by C₁-C₃-alkyl, hydroxy, C₁-C₃-alkoxy, fluorine, cyano or phenyl,

Sub
E4
C₄-C₆-alkadienylene,

a substituted C₄-C₆-alkadienylene which is substituted once or twice by C₁-C₃-alkyl, fluorine, cyano or phenyl;

1,3,5-hexatrienylene,

a 1,3,5-hexatrienylene which is substituted by C₁-C₃-alkyl, fluorine, cyano or phenyl, and

ethynylene,

D is selected from the group consisting of C₂-C₁₀-alkylene,

a substituted C₂-C₁₀-alkylene which is substituted once or twice by C₁-C₆-alkyl, hydroxy, or C₁-C₆-alkoxy;

C₄-C₁₀-alkenylene,

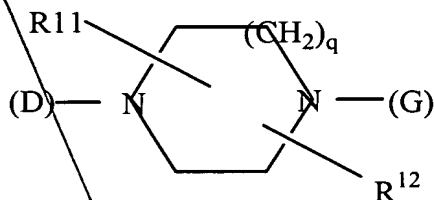
a substituted C₄-C₁₀-alkenylene which is substituted once or twice by C₁-C₆-alkyl, hydroxy, or C₁-C₆-alkoxy;

C₄-C₁₀-alkinylene,

~~a substituted C₄-C₁₀-alkynylene which is substituted once or twice by C₁-C₆-alkyl, hydroxy, or C₁-C₆-alkoxy; and~~

~~C₂-C₁₀-alkylene, C₄-C₁₀-alkenylene or C₄-C₁₀-alkynylene, in which one to three methylene units are isosterically replaced by O, S, NR¹⁰, CO, SO, or SO₂, wherein R¹⁰ has the same meaning as R⁹, but is selected independently thereof;~~

E is



wherein

q is 1, 2, or 3;

R¹¹ is selected from the group consisting of hydrogen C₁-C₆-alkyl, hydroxy, hydroxymethyl, carboxy, or C₂-C₇-alkoxycarbonyl,

R¹² is selected from the group consisting of hydrogen, C₁-C₆-alkyl and an oxo group adjacent to a nitrogen atom,

G is selected from the group consisting of G1, G2, G3, G4, and G5, wherein

G¹ is $-(CH_2)_r-(CR^{14}R^{15})_s-R^{13}$

r is 0 to 3,

~~B~~ s is 0 or 1,

~~R¹³ is selected from the group consisting of hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkinyl, C₃-C₈-cycloalkyl,~~

~~Sub E4 saturated or unsaturated four to eight-membered heterocycles,~~

~~saturated or unsaturated four to eight-membered heterocycles which contain one or two hetero-atoms selected from the group consisting of N, S and O,~~

~~benzyl, phenyl,~~

~~monocyclic aromatic five or six-membered heterocycles,~~

~~monocyclic aromatic five or six-membered heterocycles which contain one to three hetero-atoms selected from the group consisting of N, S and O where the heter-atoms and are either bound directly or over a methylene group,~~

~~anellated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein the linkage occurs either over an aromatic or a hydrogenated ring and either directly or over a methylene group,~~

~~anellated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein one to three ring atoms are selected from the group consisting of N, S and O and the linkage can occur either over an aromatic ring or a hydrated ring and either directly or over a methylene group,~~

~~R¹⁴ has the same meaning as R¹³, but is selected independently thereof;~~

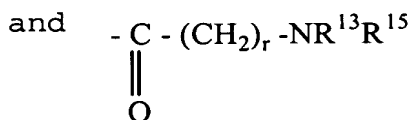
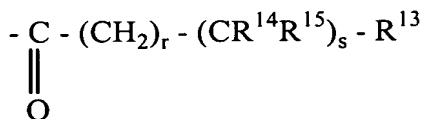
~~R¹⁵ is selected from the group consisting of hydrogen, hydroxy, methyl, benzyl, and phenyl,~~

~~monocyclic aromatic five or six-member heterocycles, which contain one to three hetero-atoms selected from the group consisting of N, S and O and wherein the hetero-atoms are either bound directly or over a methylene group,~~

~~anellated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein the linkage occurs either over an aromatic or a hydrogenated ring and either directly or over a methylene group,~~

~~anellated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein one to three ring atoms are selected from the group consisting of N, S and O and the linkage occurs either over an aromatic ring or a hydrated ring and either directly or over a methylene group,~~

G² is selected from the group consisting of



~~wherein r, s and the substituents R¹³ to R¹⁵ can have the above meaning, or the group -NR¹³R¹⁵,~~

~~wherein -NR¹³R¹⁵ is a nitrogen-containing heterocycle bound over the nitrogen atom selected from the group consisting of~~

~~saturated or unsaturated monocyclic, four to eight-membered nitrogen-containing heterocycles,~~

~~saturated or unsaturated monocyclic, four to eight-membered nitrogen-containing heterocycles which, aside from the essential nitrogen atom, contain one or two further hetero-atoms selected from the group consisting of N, S and O,~~

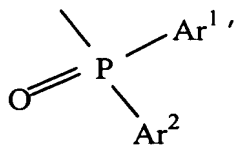
~~saturated or unsaturated bi- or tricyclic anellated or bridged nitrogen-containing heterocycles with 8 to 16 ring atoms,~~

~~saturated or unsaturated bi- or tricyclic anellated or bridged nitrogen-containing heterocycles with 8 to 16 ring atoms which aside from the essential nitrogen atom, contain one or two further hetro-atoms that are selected from N, S and O;~~

~~G³ is -SO₂-(CH₂)_r-R¹³ (G3)~~

~~wherein r and R¹³ have the above meanings,~~

~~G⁴ is~~



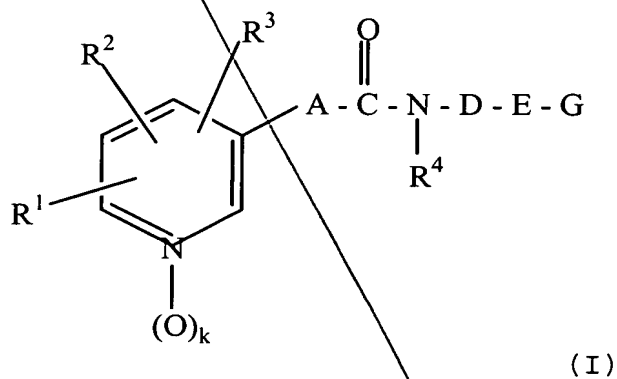
wherein

B5
Ar¹ and Ar² are selected independently from each other from phenyl, pyridyl or naphthyl,

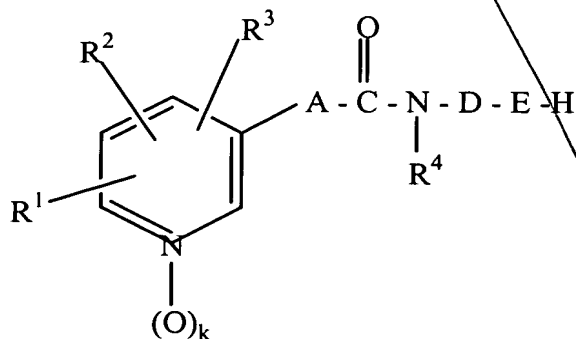
G⁵ is -COR¹⁶

Sub E4
R¹⁶ is selected from the group consisting of trifluoromethyl, C₁-C₆-alkoxy, C₃-C₆-alkenyloxy, and benzyloxy.

35. A method for production of compounds according to formula (I)



wherein compounds of a formula



are reacted with a compound of formula (IV)

L - G (IV)

wherein G is not hydrogen and is defined below, and L is a leaving group selected from the group consisting of alcohol, chlorine, bromine, iodine, sulfonic acid ester, methanesulfonyloxy, trifluoromethanesulfonyloxy, ethanesulfonyloxy, benzenesulfonyloxy, p-toluenesulfonyloxy, p-bromobenzenesulfonyloxy, m-nitrobenzenesulfonyloxy, and a terminal epoxide group,

wherein the reaction occurs in an inert solvent at a temperature between about 0°C and about 180°C., wherein:

R¹ is selected from the group consisting of hydrogen, halogen, cyano, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₂-C₆-alkinyl, trifluoromethyl, C₃-C₈-cycloalkyl, C₁-C₆-hydroxyalkyl, hydroxy, C₁-C₆-alkoxy, C₃-C₆-alkenyloxy, C₃-C₆-alkinyloxy, benzyloxy, C₁-C₇-alkanoyloxy, C₂-C₇-alkoxycarbonyloxy, C₁-C₆-alkylthio, C₃-C₆-alkenylthio, C₃-C₆-alkinylthio, C₃-C₈-cycloalkyloxy, C₃-C₈-cycloalkylthio, C₂-C₇-alkoxycarbonyl, aminocarbonyl, C₂-C₇-alkylaminocarbonyl, C₃-C₁₃-dialkylaminocarbonyl, carboxy, phenyl, phenoxy, phenylthio, pyridyloxy, pyridylthio, and NR⁵R⁶, wherein

R⁵ and R⁶ are selected independently of each other from the group consisting of hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkinyl, benzyl and phenyl;

R² is selected from the group consisting of hydrogen, halogen, cyano, C₁-C₆-alkyl, trifluoromethyl, hydroxy, C₁-C₆-alkoxy, benzyloxy and C₁-C₇-alkanoyloxy;

R³ is selected from the group consisting of hydrogen, halogen, C₁-C₆-alkyl, trifluoromethyl and C₁-C₆-hydroxyalkyl;

~~BS~~ R^4 is selected from the group consisting of hydrogen, C_1 - C_6 -alkyl, C_3 - C_6 -alkenyl, C_3 - C_6 -alkinyl, C_3 - C_6 -cycloalkyl, hydroxy, C_1 - C_6 -alkoxy and benzyloxy;

k is 0 or 1,

~~Sub~~
~~E4~~ A is selected from the group consisting of C_1 - C_6 -alkylene,

a substituted C_1 - C_6 -alkylene which is substituted one to three-fold by C_1 - C_3 -alkyl, hydroxy, C_1 - C_3 -alkoxy, fluorine, or phenyl,

C_2 - C_6 -alkylene, in which a methylene unit is isosterically replaced by O, S, NR^9 , CO, SO or SO_2 , wherein, with the exception of CO, the isosteric substitution is not adjacent to the amide group and R^9 is selected from the group consisting of hydrogen, C_1 - C_6 -alkyl, C_3 - C_6 -alkenyl, C_3 - C_6 -alkinyl, C_1 - C_6 -acyl and C_1 - C_6 -alkanesulfonyl,

1,2-cyclopropylene,

C_2 - C_6 -alkenylene,

a substituted C_2 - C_6 -alkenylene which is substituted once to three-fold by C_1 - C_3 -alkyl, hydroxy, C_1 - C_3 -alkoxy, fluorine, cyano or phenyl,

C_4 - C_6 -alkadienylene,

a substituted C_4 - C_6 -alkadienylene which is substituted once or twice by C_1 - C_3 -alkyl, fluorine, cyano or phenyl;

1,3,5-hexatrienylene,

a 1,3,5-hexatrienylene which is substituted by C₁-C₃-alkyl, fluorine, cyano or phenyl, and

ethynylene,

D is selected from the group consisting of C₂-C₁₀-alkylene,

a substituted C₂-C₁₀-alkylene which is substituted once or twice by C₁-C₆-alkyl, hydroxy, or C₁-C₆-alkoxy;

C₄-C₁₀-alkenylene,

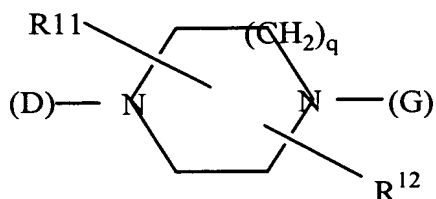
a substituted C₄-C₁₀-alkenylene which is substituted once or twice by C₁-C₆-alkyl, hydroxy, or C₁-C₆-alkoxy;

C₄-C₁₀-alkynylene,

a substituted C₄-C₁₀-alkynylene which is substituted once or twice by C₁-C₆-alkyl, hydroxy, or C₁-C₆-alkoxy; and

C₂-C₁₀-alkylene, C₄-C₁₀-alkenylene or C₄-C₁₀-alkynylene, in which one to three methylene units are isosterically replaced by O, S, NR¹⁰, CO, SO, or SO₂, wherein R¹⁰ has the same meaning as R⁹, but is selected independently thereof;

E is



Sub
 E4
 wherein

q is 1, 2, or 3;

R¹¹ is selected from the group consisting of hydrogen, C₁-C₆-alkyl, hydroxy, hydroxymethyl, carboxy, or C₂-C₇-alkoxycarbonyl,

R¹² is selected from the group consisting of hydrogen, C₁-C₆-alkyl and an oxo group adjacent to a nitrogen atom,

G is selected from the group consisting of G1, G2, G3, G4, and G5, wherein

G¹ is $-(CH_2)_r-(CR^{14}R^{15})_s-R^{13}$

r is 0 to 3,

s is 0 or 1,

R¹³ is selected from the group consisting of hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkynyl, C₃-C₈-cycloalkyl,

saturated or unsaturated four to eight-membered heterocycles,

~~ES~~ saturated or unsaturated four to eight-membered heterocycles which contain one or two hetero-atoms selected from the group consisting of N, S and O,

benzyl, phenyl,

monocyclic aromatic five or six-membered heterocycles,

Sub E4 monocyclic aromatic five or six-membered heterocycles which contain one to three hetero-atoms selected from the group consisting of N, S and O where the heter-atoms and are either bound directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein the linkage occurs either over an aromatic or a hydrogenated ring and either directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein one to three ring atoms are selected from the group consisting of N, S and O and the linkage can occur either over an aromatic ring or a hydrogenated ring and either directly or over a methylene group,

R^{14} has the same meaning as R^{13} , but is selected independently thereof;

R^{15} is selected from the group consisting of hydrogen, hydroxy, methyl, benzyl, and phenyl,

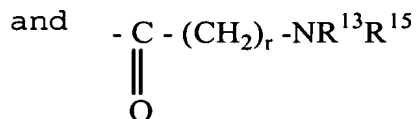
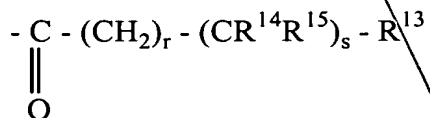
monocyclic aromatic five or six-member heterocycles,

which contain one to three hetero-atoms selected from the group consisting of N, S and O and wherein the hetero-atoms are either bound directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein the linkage occurs either over an aromatic or a hydrogenated ring and either directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein one to three ring atoms are selected from the group consisting of N, S and O and the linkage occurs either over an aromatic ring or a hydrated ring and either directly or over a methylene group,

G² is selected from the group consisting of



wherein r, s and the substituents R¹³ to R¹⁵ can have the above meaning, or the group -NR¹³R¹⁵,

wherein -NR¹³R¹⁵ is a nitrogen-containing heterocycle bound over the nitrogen atom selected from the group consisting of

~~saturated or unsaturated monocyclic, four to eight-membered nitrogen-containing heterocycles,~~

~~saturated or unsaturated monocyclic, four to eight-membered nitrogen-containing heterocycles which, aside from the essential nitrogen atom, contain one or two further hetero-atoms selected from the group consisting of N, S and O,~~

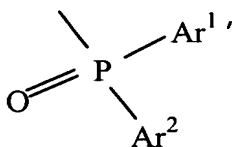
~~saturated or unsaturated bi- or tricyclic anellated or bridged nitrogen-containing heterocycles with 8 to 16 ring atoms,~~

~~saturated or unsaturated bi- or tricyclic anellated or bridged nitrogen-containing heterocycles with 8 to 16 ring atoms which aside from the essential nitrogen atom, contain one or two further hetero-atoms that are selected from N, S and O;~~

G^3 is $-\text{SO}_2-(\text{CH}_2)_r-\text{R}^{13}$ (G^3)

wherein r and R^{13} have the above meanings,

G^4 is



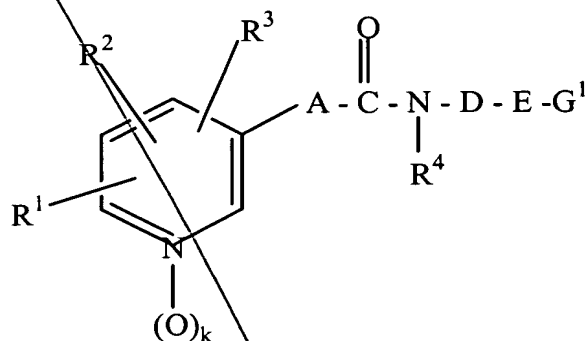
wherein

Ar^1 and Ar^2 are selected independently from each other from phenyl, pyridyl or naphthyl,

G^5 is $-\text{COR}^{16}$

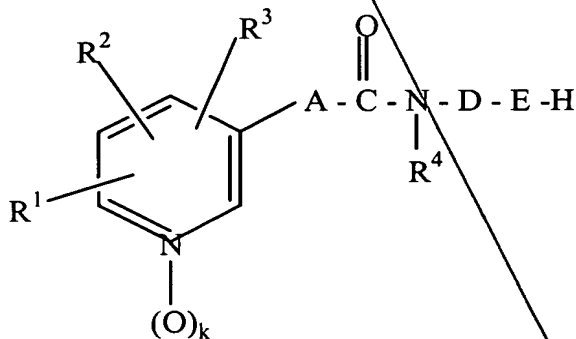
~~R¹⁶ is selected from the group consisting of trifluoromethyl, C₁-C₆-alkoxy, C₃-C₆-alkenyloxy, and benzyloxy.~~

36. A method for production of compounds according to formula (I)



(I)

wherein compounds of a formula



are reacted with a compound of formula (IV)

L - G (IV)

wherein G is selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, aryl, aralkyl, heteroaryl and heteroaralkyl,

wherein L is a leaving group selected from the group consisting of alcohol, chlorine, bromine, iodine, sulfonic

acid ester, methanesulfonyloxy, trifluoromethanesulfonyloxy, ethanesulfonyloxy, benzensulfonyloxy, p-toluenesulfonyloxy, p-bromobenzenesulfonyloxy, m-nitrobenzenesulfonyloxy, and a terminal epoxide group,

wherein the reaction occurs in an inert solvent at a temperature between about 0°C and about 180°C., wherein:

R¹ is selected from the group consisting of hydrogen, halogen, cyano, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₂-C₆-alkinyl, trifluoromethyl, C₃-C₈-cycloalkyl, C₁-C₆-hydroxyalkyl, hydroxy, C₁-C₆-alkoxy, C₃-C₆-alkenyloxy, C₃-C₆-alkinyloxy, benzyloxy, C₁-C₇-alkanoyloxy, C₂-C₇-alkoxycarbonyloxy, C₁-C₆-alkylthio, C₃-C₆-alkenylthio, C₃-C₆-alkinylthio, C₃-C₈-cycloalkyloxy, C₃-C₈-cycloalkylthio, C₂-C₇-alkoxycarbonyl, aminocarbonyl, C₂-C₇-alkylaminocarbonyl, C₃-C₁₃-dialkylaminocarbonyl, carboxy, phenyl, phenoxy, phenylthio, pyridyloxy, pyridylthio, and NR⁵R⁶, wherein

R⁵ and R⁶ are selected independently of each other from the group consisting of hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkinyl, benzyl and phenyl;

R² is selected from the group consisting of hydrogen, halogen, cyano, C₁-C₆-alkyl, trifluoromethyl, hydroxy, C₁-C₆-alkoxy, benzyloxy and C₁-C₇-alkanoyloxy;

R³ is selected from the group consisting of hydrogen, halogen, C₁-C₆-alkyl, trifluoromethyl and C₁-C₆-hydroxyalkyl;

R⁴ is selected from the group consisting of hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkinyl, C₃-C₆-cycloalkyl, hydroxy, C₁-C₆-alkoxy and benzyloxy;

BS
k is 0 or 1,

A is selected from the group consisting of
C₁-C₆-alkylene,

Sub
a substituted C₁-C₆-alkylene which is substituted one to three-fold by C₁-C₃-alkyl, hydroxy, C₁-C₃-alkoxy, fluorine, or phenyl,

E4
C₂-C₆-alkylene, in which a methylene unit is isosterically replaced by O, S, NR⁹, CO, SO or SO₂, wherein, with the exception of CO, the isosteric substitution is not adjacent to the amide group and R⁹ is selected from the group consisting of hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkinyl, C₁-C₆-acyl and C₁-C₆-alkanesulfonyl,

1,2-cyclopropylene,

C₂-C₆-alkenylene,

a substituted C₂-C₆-alkenylene which is substituted once to three-fold by C₁-C₃-alkyl, hydroxy, C₁-C₃-alkoxy, fluorine, cyano or phenyl,

C₄-C₆-alkadienylene,

a substituted C₄-C₆-alkadienylene which is substituted once or twice by C₁-C₃-alkyl, fluorine, cyano or phenyl;

1,3,5-hexatrienylene,

a 1,3,5-hexatrienylene which is substituted by C₁-C₃-alkyl, fluorine, cyano or phenyl, and

ethynylene,

BS D is selected from the group consisting of C₂-C₁₀-alkylene,

a substituted C₂-C₁₀-alkylene which is substituted once or twice by C₁-C₆-alkyl, hydroxy, or C₁-C₆-alkoxy;

Sub
E4 C₄-C₁₀-alkenylene,

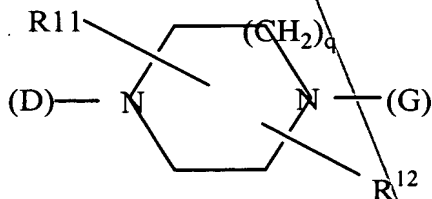
a substituted C₄-C₁₀-alkenylene which is substituted once or twice by C₁-C₆-alkyl, hydroxy, or C₁-C₆-alkoxy;

C₄-C₁₀-alkynylene,

a substituted C₄-C₁₀-alkynylene which is substituted once or twice by C₁-C₆-alkyl, hydroxy, or C₁-C₆-alkoxy; and

C₂-C₁₀-alkylene, C₄-C₁₀-alkenylene or C₄-C₁₀-alkynylene, in which one to three methylene units are isosterically replaced by O, S, NR¹⁰, CO, SO, or SO₂, wherein R¹⁰ has the same meaning as R⁹, but is selected independently thereof;

E is



wherein

Sub
E4
B5
q is 1, 2, or 3;

R¹¹ is selected from the group consisting of hydrogen C₁-C₆-alkyl, hydroxy, hydroxymethyl, carboxy, or C₂-C₇-alkoxycarbonyl,

R¹² is selected from the group consisting of hydrogen, C₁-C₆-alkyl and an oxo group adjacent to a nitrogen atom,

G¹ is $-(CH_2)_r-(CR^{14}R^{15})_s-R^{13}$

r is 0 to 3,

s is 0 or 1,

R¹³ is selected from the group consisting of hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkinyl, C₃-C₈-cycloalkyl,

saturated or unsaturated four to eight-membered heterocycles,

saturated or unsaturated four to eight-membered heterocycles which contain one or two hetero-atoms selected from the group consisting of N, S and O,

benzyl, phenyl,

monocyclic aromatic five or six-membered heterocycles,

monocyclic aromatic five or six-membered heterocycles which contain one to three hetero-atoms selected from the group consisting of N, S and O where the heter-atoms and are either bound directly or over a methylene group,

BS
anellated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein the linkage occurs either over an aromatic or a hydrogenated ring and either directly or over a methylene group,

Sub Ey
anellated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein one to three ring atoms are selected from the group consisting of N, S and O and the linkage can occur either over an aromatic ring or a hydrogenated ring and either directly or over a methylene group,

R^{14} has the same meaning as R^{13} , but is selected independently thereof;

R^{15} is selected from the group consisting of hydrogen, hydroxy, methyl, benzyl, and phenyl,

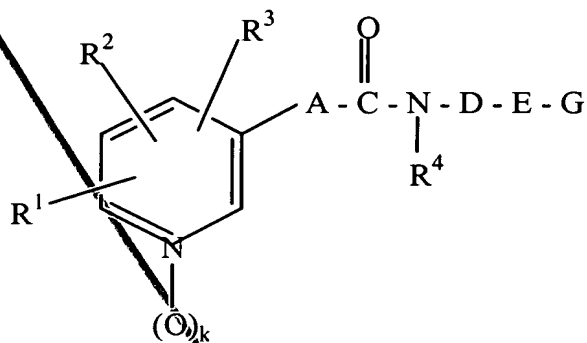
monocyclic aromatic five or six-member heterocycles, which contain one to three hetero-atoms selected from the group consisting of N, S and O and wherein the hetero-atoms are either bound directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein the linkage occurs either over an aromatic or a hydrogenated ring and either directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein one to three ring

Sub
E4
138 atoms are selected from the group consisting of N, S and O and the linkage occurs either over an aromatic ring or a hydrated ring and either directly or over a methylene group.

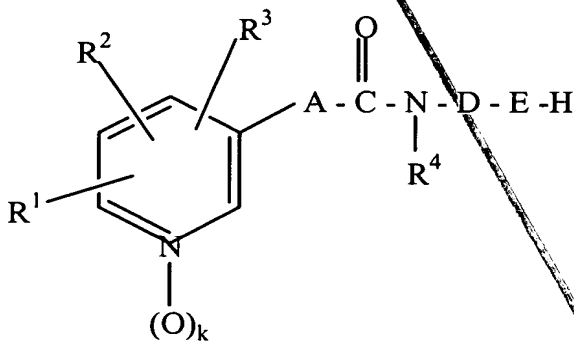
37. A method for production of compounds according to formula (I)



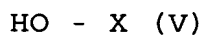
(I)

wherein G is selected from the group consisting of an acyl residue, a carbamoyl residue, a sulfonyl residue and a phosphinoyl residue,

wherein compounds of a formula



are reacted with a compound of formula (V)



wherein X is selected from the group consisting of acyl residues, carbamoyl residues, sulfonyl residues, phosphinoyl residues, and their reactive derivatives, wherein:

BS
OK
cont

R^1 is selected from the group consisting of hydrogen, halogen, cyano, C_1 - C_6 -alkyl, C_3 - C_6 -alkenyl, C_2 - C_6 -alkinyl, trifluoromethyl, C_3 - C_8 -cycloalkyl, C_1 - C_6 -hydroxyalkyl, hydroxy, C_1 - C_6 -alkoxy, C_3 - C_6 -alkenyloxy, C_3 - C_6 -alkinyloxy, benzyloxy, C_1 - C_7 -alkanoyloxy, C_2 - C_7 -alkoxycarbonyloxy, C_1 - C_6 -alkylthio, C_3 - C_6 -alkenylthio, C_3 - C_6 -alkinylthio, C_3 - C_8 -cycloalkyloxy, C_3 - C_8 -cycloalkylthio, C_2 - C_7 -alkoxycarbonyl, aminocarbonyl, C_2 - C_7 -alkylaminocarbonyl, C_3 - C_{13} -dialkylaminocarbonyl, carboxy, phenyl, phenoxy, phenylthio, pyridyloxy, pyridylthio, and NR^5R^6 , wherein

R^5 and R^6 are selected independently of each other from the group consisting of hydrogen, C_1 - C_6 -alkyl, C_3 - C_6 -alkenyl, C_3 - C_6 -alkinyl, benzyl and phenyl;

R^2 is selected from the group consisting of hydrogen, halogen, cyano, C_1 - C_6 -alkyl, trifluoromethyl, hydroxy, C_1 - C_6 -alkoxy, benzyloxy and C_1 - C_7 -alkanoyloxy;

R^3 is selected from the group consisting of hydrogen, halogen, C_1 - C_6 -alkyl, trifluoromethyl and C_1 - C_6 -hydroxyalkyl;

R^4 is selected from the group consisting of hydrogen, C_1 - C_6 -alkyl, C_3 - C_6 -alkenyl, C_3 - C_6 -alkinyl, C_3 - C_6 -cycloalkyl, hydroxy, C_1 - C_6 -alkoxy and benzyloxy;

k is 0 or 1,

A is selected from the group consisting of

35
D4
cont
~~C₁-C₆-alkylene,~~

~~a substituted C₁-C₆-alkylene which is substituted one to three-fold by C₁-C₃-alkyl, hydroxy, C₁-C₃-alkoxy, fluorine, or phenyl,~~

~~C₂-C₆-alkylene, in which a methylene unit is isosterically replaced by O, S, NR⁹, CO, SO or SO₂, wherein, with the exception of CO, the isosteric substitution is not adjacent to the amide group and R⁹ is selected from the group consisting of hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkinyl, C₁-C₆-acyl and C₁-C₆-alkanesulfonyl,~~

~~1,2-cyclopropylene,~~

~~C₂-C₆-alkenylene,~~

~~a substituted C₂-C₆-alkenylene which is substituted once to three-fold by C₁-C₃-alkyl, hydroxy, C₁-C₃-alkoxy, fluorine, cyano or phenyl,~~

~~C₄-C₆-alkadienylene,~~

~~a substituted C₄-C₆-alkadienylene which is substituted once or twice by C₁-C₃-alkyl, fluorine, cyano or phenyl;~~

~~1,3,5-hexatrienylene,~~

~~a 1,3,5-hexatrienylene which is substituted by C₁-C₃-alkyl, fluorine, cyano or phenyl, and~~

~~ethynylene,~~

D is selected from the group consisting of C₂-C₁₀-alkylene,

a substituted C₂-C₁₀-alkylene which is substituted once or twice by C₁-C₆-alkyl, hydroxy, or C₁-C₆-alkoxy;

C₄-C₁₀-alkenylene,

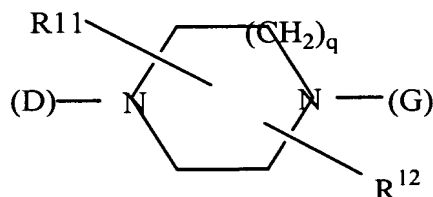
a substituted C₄-C₁₀-alkenylene which is substituted once or twice by C₁-C₆-alkyl, hydroxy, or C₁-C₆-alkoxy;

C₄-C₁₀-alkynylene,

a substituted C₄-C₁₀-alkynylene which is substituted once or twice by C₁-C₆-alkyl, hydroxy, or C₁-C₆-alkoxy; and

C₂-C₁₀-alkylene, C₄-C₁₀-alkenylene or C₄-C₁₀-alkynylene, in which one to three methylene units are isosterically replaced by O, S, NR¹⁰, CO, SO, or SO₂, wherein R¹⁰ has the same meaning as R⁹, but is selected independently thereof;

E is



wherein

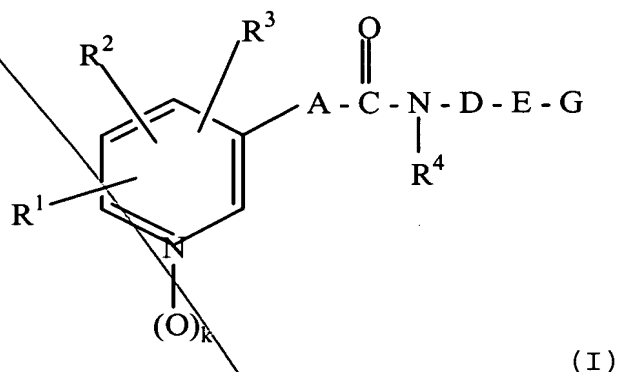
q is 1, 2, or 3;

*B5
D4
cont*

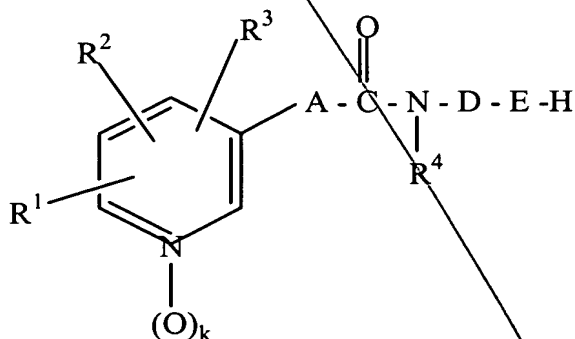
~~R¹¹ is selected from the group consisting of hydrogen C₁-C₆-alkyl, hydroxy, hydroxymethyl, carboxy, or C₂-C₇-alkoxycarbonyl,~~

~~R¹² is selected from the group consisting of hydrogen, C₁-C₆-alkyl and an oxo group adjacent to a nitrogen atom.~~

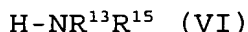
38. A method for production of compounds according to formula (I)



wherein compounds of a formula



are reacted with a carbonyl group transmitter to an intermediate product which is reacted with a primary or secondary amine having the formula (VI)



wherein:

Sub E6
R¹ is selected from the group consisting of hydrogen, halogen, cyano, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₂-C₆-alkinyl, trifluoromethyl, C₃-C₈-cycloalkyl, C₁-C₆-hydroxyalkyl, hydroxy, C₁-C₆-alkoxy, C₃-C₆-alkenyloxy, C₃-C₆-alkinyloxy, benzyloxy, C₁-C₇-alkanoyloxy, C₂-C₇-alkoxycarbonyloxy, C₁-C₆-alkylthio, C₃-C₆-alkenylthio, C₃-C₆-alkinylthio, C₃-C₈-cycloalkyloxy, C₃-C₈-cycloalkylthio, C₂-C₇-alkoxycarbonyl, aminocarbonyl, C₂-C₇-alkylaminocarbonyl, C₃-C₁₃-dialkylaminocarbonyl, carboxy, phenyl, phenoxy, phenylthio, pyridyloxy, pyridylthio, and NR⁵R⁶, wherein

R⁵ and R⁶ are selected independently of each other from the group consisting of hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkinyl, benzyl and phenyl;

R² is selected from the group consisting of hydrogen, halogen, cyano, C₁-C₆-alkyl, trifluoromethyl, hydroxy, C₁-C₆-alkoxy, benzyloxy and C₁-C₇-alkanoyloxy;

R³ is selected from the group consisting of hydrogen, halogen, C₁-C₆-alkyl, trifluoromethyl and C₁-C₆-hydroxyalkyl;

R⁴ is selected from the group consisting of hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkinyl, C₃-C₆-cycloalkyl, hydroxy, C₁-C₆-alkoxy and benzyloxy;

k is 0 or 1,

A is selected from the group consisting of C₁-C₆-alkylene,

BS
a substituted C₁-C₆-alkylene which is substituted one to three-fold by C₁-C₃-alkyl, hydroxy, C₁-C₃-alkoxy, fluorine, or phenyl,

Sub
E6
C₂-C₆-alkylene, in which a methylene unit is isosterically replaced by O, S, NR⁹, CO, SO or SO₂, wherein, with the exception of CO, the isosteric substitution is not adjacent to the amide group and R⁹ is selected from the group consisting of hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkinyl, C₁-C₆-acyl and C₁-C₆-alkanesulfonyl,

1,2-cyclopropylene,

C₂-C₆-alkenylene,

a substituted C₂-C₆-alkenylene which is substituted once to three-fold by C₁-C₃-alkyl, hydroxy, C₁-C₃-alkoxy, fluorine, cyano or phenyl,

C₄-C₆-alkadienylene,

a substituted C₄-C₆-alkadienylene which is substituted once or twice by C₁-C₃-alkyl, fluorine, cyano or phenyl;

1,3,5-hexatrienylene,

a 1,3,5-hexatrienylene which is substituted by C₁-C₃-alkyl, fluorine, cyano or phenyl, and

ethynylene,

D is selected from the group consisting of C₂-C₁₀-alkylene,

~~B8~~ a substituted C₂-C₁₀-alkylene which is substituted once or twice by C₁-C₆-alkyl, hydroxy, or C₁-C₆-alkoxy;

~~C₄-C₁₀-alkenylene,~~

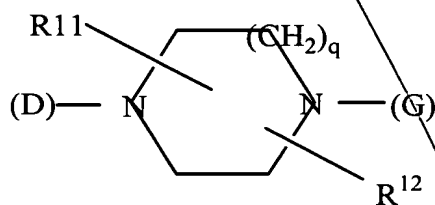
~~a substituted C₄-C₁₀-alkenylene which is substituted once or twice by C₁-C₆-alkyl, hydroxy, or C₁-C₆-alkoxy;~~

~~C₄-C₁₀-alkynylene,~~

~~a substituted C₄-C₁₀-alkynylene which is substituted once or twice by C₁-C₆-alkyl, hydroxy, or C₁-C₆-alkoxy; and~~

~~C₂-C₁₀-alkylene, C₄-C₁₀-alkenylene or C₄-C₁₀-alkynylene, in which one to three methylene units are isosterically replaced by O, S, NR¹⁰, CO, SO, or SO₂, wherein R¹⁰ has the same meaning as R⁹, but is selected independently thereof;~~

E is



wherein

q is 1, 2, or 3;

R¹¹ is selected from the group consisting of hydrogen C₁-C₆-alkyl, hydroxy, hydroxymethyl, carboxy, or C₂-C₇-alkoxycarbonyl,

~~R¹² is selected from the group consisting of hydrogen, C₁-C₆-alkyl and an oxo group adjacent to a nitrogen atom,~~

~~wherein G is
$$\begin{array}{c} \text{-C-(CH}_2\text{)}_r\text{-NR}^{13}\text{R}^{15} \\ \parallel \\ \text{O} \end{array}$$~~

~~wherein r = 0,~~

~~R¹³ is selected from the group consisting of hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkinyl, C₃-C₈-cycloalkyl,~~

~~saturated or unsaturated four to eight-membered heterocycles,~~

~~saturated or unsaturated four to eight-membered heterocycles which contain one or two hetero-atoms selected from the group consisting of N, S and O,~~

~~benzyl, phenyl,~~

~~monocyclic aromatic five or six-membered heterocycles,~~

~~monocyclic aromatic five or six-membered heterocycles which contain one to three hetero-atoms selected from the group consisting of N, S and O where the heter-atoms and are either bound directly or over a methylene group,~~

~~anellated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein the linkage occurs either over an aromatic or a hydrogenated ring and either~~

directly or over a methylene group,

B anellated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein one to three ring atoms are selected from the group consisting of N, S and O and the linkage can occur either over an aromatic ring or a hydrated ring and either directly or over a methylene group,

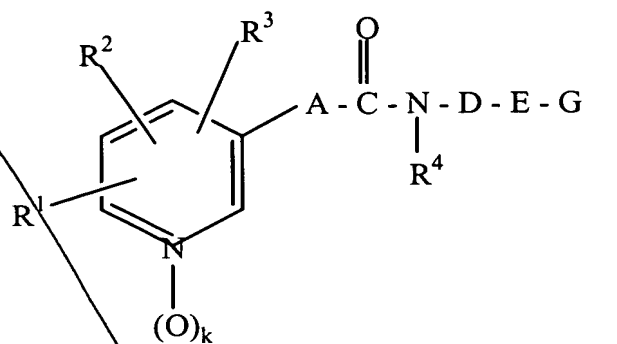
Sch
EG R^{15} is selected from the group consisting of hydrogen, hydroxy, methyl, benzyl, and phenyl,

monocyclic aromatic five or six-member heterocycles, which contain one to three hetero-atoms selected from the group consisting of N, S and O and wherein the hetero-atoms are either bound directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein the linkage occurs either over an aromatic or a hydrogenated ring and either directly or over a methylene group,

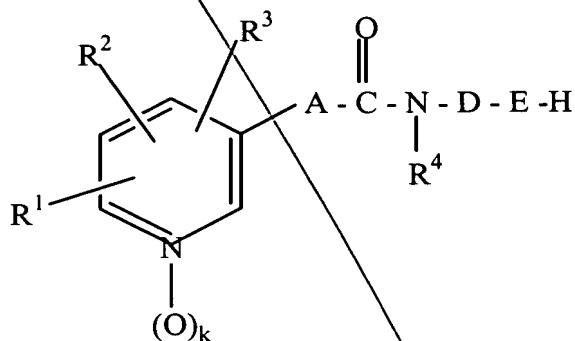
anellated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein one to three ring atoms are selected from the group consisting of N, S and O and the linkage occurs either over an aromatic ring or a hydrated ring and either directly or over a methylene group.

39. A method for production of compounds according to formula (I)

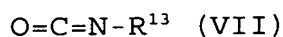


(I)

wherein compounds of a formula



are reacted with a compound of formula (VII)



wherein:

R^1 is selected from the group consisting of hydrogen, halogen, cyano, C_1 - C_6 -alkyl, C_3 - C_6 -alkenyl, C_2 - C_6 -alkinyl, trifluoromethyl, C_3 - C_8 -cycloalkyl, C_1 - C_6 -hydroxyalkyl, hydroxy, C_1 - C_6 -alkoxy, C_3 - C_6 -alkenyloxy, C_3 - C_6 -alkinyloxy, benzyloxy, C_1 - C_7 -alkanoyloxy, C_2 - C_7 -alkoxycarbonyloxy, C_1 - C_6 -alkylthio, C_3 - C_6 -alkenylthio, C_3 - C_6 -alkinylthio, C_3 - C_8 -cycloalkyloxy, C_3 - C_8 -cycloalkylthio, C_2 - C_7 -alkoxycarbonyl, aminocarbonyl, C_2 - C_7 -alkylaminocarbonyl, C_3 - C_{13} -dialkylaminocarbonyl, carboxy,

phenyl, phenoxy, phenylthio, pyridyloxy, pyridylthio, and NR^5R^6 , wherein

BS R^5 and R^6 are selected independently of each other from the group consisting of hydrogen, C_1 - C_6 -alkyl, C_3 - C_6 -alkenyl, C_3 - C_6 -alkinyl, benzyl and phenyl;

Sub R^2 is selected from the group consisting of hydrogen, halogen, cyano, C_1 - C_6 -alkyl, trifluoromethyl, hydroxy, C_1 - C_6 -alkoxy, benzyloxy and C_1 - C_7 -alkanoyloxy;

E6 R^3 is selected from the group consisting of hydrogen, halogen, C_1 - C_6 -alkyl, trifluoromethyl and C_1 - C_6 -hydroxyalkyl;

R^4 is selected from the group consisting of hydrogen, C_1 - C_6 -alkyl, C_3 - C_6 -alkenyl, C_3 - C_6 -alkinyl, C_3 - C_6 -cycloalkyl, hydroxy, C_1 - C_6 -alkoxy and benzyloxy;

k is 0 or 1,

A is selected from the group consisting of C_1 - C_6 -alkylene,

a substituted C_1 - C_6 -alkylene which is substituted one to three-fold by C_1 - C_3 -alkyl, hydroxy, C_1 - C_3 -alkoxy, fluorine, or phenyl,

C_2 - C_6 -alkylene, in which a methylene unit is isosterically replaced by O, S, NR^9 , CO, SO or SO_2 , wherein, with the exception of CO, the isosteric substitution is not adjacent to the amide group and R^9 is selected from the group consisting of hydrogen, C_1 - C_6 -alkyl, C_3 - C_6 -alkenyl, C_3 - C_6 -alkinyl, C_1 - C_6 -acyl and C_1 - C_6 -alkanesulfonyl,

1,2-cyclopropylene,

~~C₂-C₆-alkenylene,~~

~~a substituted C₂-C₆-alkenylene which is substituted once to three-fold by C₁-C₃-alkyl, hydroxy, C₁-C₃-alkoxy, fluorine, cyano or phenyl,~~

~~C₄-C₆-alkadienylene,~~

~~a substituted C₄-C₆-alkadienylene which is substituted once or twice by C₁-C₃-alkyl, fluorine, cyano or phenyl;~~

~~1,3,5-hexatrienylene,~~

~~a 1,3,5-hexatrienylene which is substituted by C₁-C₃-alkyl, fluorine, cyano or phenyl, and~~

~~ethynylene,~~

~~D is selected from the group consisting of C₂-C₁₀-alkylene,~~

~~a substituted C₂-C₁₀-alkylene which is substituted once or twice by C₁-C₆-alkyl, hydroxy, or C₁-C₆-alkoxy;~~

~~C₄-C₁₀-alkenylene,~~

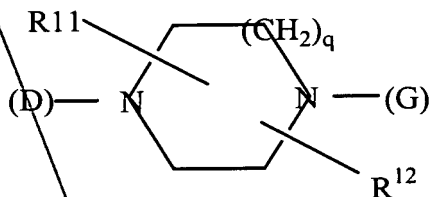
~~a substituted C₄-C₁₀-alkenylene which is substituted once or twice by C₁-C₆-alkyl, hydroxy, or C₁-C₆-alkoxy;~~

~~C₄-C₁₀-alkinylene,~~

a substituted C₄-C₁₀-alkynylene which is substituted once or twice by C₁-C₆-alkyl, hydroxy, or C₁-C₆-alkoxy; and

~~ES~~ C₂-C₁₀-alkylene, C₄-C₁₀-alkenylene or C₄-C₁₀-alkynylene, in which one to three methylene units are isosterically replaced by O, S, NR¹⁰, CO, SO, or SO₂, wherein R¹⁰ has the same meaning as R⁹, but is selected independently thereof;

E is

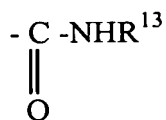


wherein

q is 1, 2, or 3;

R¹¹ is selected from the group consisting of hydrogen C₁-C₆-alkyl, hydroxy, hydroxymethyl, carboxy, or C₂-C₇-alkoxycarbonyl,

R¹² is selected from the group consisting of hydrogen, C₁-C₆-alkyl and an oxo group adjacent to a nitrogen atom, wherein G is



R¹³ is selected from the group consisting of hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkinyl, C₃-C₈-cycloalkyl,

saturated or unsaturated four to eight-membered
heterocycles,

B saturated or unsaturated four to eight-membered
heterocycles which contain one or two hetero-atoms selected
from the group consisting of N, S and O,

Sub
E6 benzyl, phenyl,

monocyclic aromatic five or six-membered heterocycles,

monocyclic aromatic five or six-membered heterocycles
which contain one to three hetero-atoms selected from the
group consisting of N, S and O where the heter-atoms and are
either bound directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially
hydrogenated carbocyclic ring systems with 8 to 16 ring atoms
and at least one aromatic ring, wherein the linkage occurs
either over an aromatic or a hydrogenated ring and either
directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially
hydrogenated heterocyclic ring systems with 8 to 16 ring atoms
and at least one aromatic ring, wherein one to three ring
atoms are selected from the group consisting of N, S and O and
the linkage can occur either over an aromatic ring or a
hydrated ring and either directly or over a methylene group.

40. The pyridylalkane, pyridylalkene and pyridylalkine carboxamides of formula (I) of claim 2 wherein aromatic ring systems in the substituents R^1 , R^2 , R^4 , R^5 , R^6 , R^{13} , R^{14} , R^{15} , R^{16} , Ar^1 and Ar^2 and/or in the ring system $-NR^{13}R^{15}$ may be substituted independently from each other by one to three of the same or different groups selected from the group consisting of halogen, cyano, C_1 - C_6 -alkyl, trifluoromethyl, C_3 - C_8 -cycloalkyl, phenyl, benzyl, hydroxy, C_1 - C_6 -hydroxyalkyl, C_1 - C_6 -alkoxy, C_1 - C_6 -alkoxy entirely or partially substituted by fluorine, benzyloxy, phenoxy, mercapto, C_1 - C_6 -alkylthio, carboxy, C_2 - C_7 -carboxyalkyl, C_2 - C_7 -carboxyalkenyl, C_2 - C_7 -alkoxycarbonyl, benzyloxycarbonyl, nitro, amino, mono- C_1 - C_6 -alkylamino, di- $(C_1$ - C_6 -alkyl)-amino and, methylene dioxide for two adjacent residues on the aromatic ring, and wherein

alkyl- alkenyl- and cycloalkyl residues in the groups G^1 , G^2 , and G^3 are substituted by one or two of the same or different groups which are selected from the group consisting of hydroxy, carboxy, C_2 - C_7 -alkoxycarbonyl, benzyloxycarbonyl, amino, mono- C_1 - C_6 -alkylamino and di- $(C_1$ - C_6 -alkyl-amino), their cis- and trans-isomers E- and Z-isomers, diastereomers and other isomers as well as their racemic or non-racemic mixtures and the corresponding endo- and exo-isomers when the ring system E is bicyclic, their tautomers; their acid addition salts and their hydrates and solvates.

41. The compound of formula (I) of claim 3 wherein aromatic ring systems in the substituents R^1 , R^2 , R^4 , R^5 , R^6 , R^{13} , R^{14} , R^{15} , R^{16} , Ar^1 and Ar^2 and/or in the ring system $-NR^{13}R^{15}$ may be substituted independently from each other by one to three of the same or different groups selected from the group consisting of halogen, cyano, C_1 - C_6 -alkyl, trifluoromethyl, C_3 -

C₈-cycloalkyl, phenyl, benzyl, hydroxy, C₁-C₆-hydroxyalkyl, C₁-C₆-alkoxy, C₁-C₆-alkoxy entirely or partially substituted by fluorine, benzyloxy, phenoxy, mercapto, C₁-C₆-alkylthio, carboxy, C₂-C₇-carboxyalkyl, C₂-C₇-carboxyalkenyl, C₂-C₇-alkoxycarbonyl, benzyloxycarbonyl, nitro, amino, mono-C₁-C₆-alkylamino, di-(C₁-C₆-alkyl)-amino and, methylene dioxide for two adjacent residues on the aromatic ring, and wherein

alkyl- alkenyl- and cycloalkyl residues in the groups G¹, G², and G³ are substituted by one or two of the same or different groups which are selected from the group consisting of hydroxy, carboxy, C₂-C₇-alkoxycarbonyl, benzyloxycarbonyl, amino, mono-C₁-C₆-alkylamino and di-(C₁-C₆-alkyl-amino).

42. The pharmaceutical composition of claim 12 aromatic ring systems in the substituents R¹, R², R⁴, R⁵, R⁶, R¹³, R¹⁴, R¹⁵, R¹⁶, Ar¹ and Ar² and/or in the ring system -NR¹³R¹⁵ may be substituted independently from each other by one to three of the same or different groups selected from the group consisting of halogen, cyano, C₁-C₆-alkyl, trifluoromethyl, C₃-C₈-cycloalkyl, phenyl, benzyl, hydroxy, C₁-C₆-hydroxyalkyl, C₁-C₆-alkoxy, C₁-C₆-alkoxy entirely or partially substituted by fluorine, benzyloxy, phenoxy, mercapto, C₁-C₆-alkylthio, carboxy, C₂-C₇-carboxyalkyl, C₂-C₇-carboxyalkenyl, C₂-C₇-alkoxycarbonyl, benzyloxycarbonyl, nitro, amino, mono-C₁-C₆-alkylamino, di-(C₁-C₆-alkyl)-amino and, methylene dioxide for two adjacent residues on the aromatic ring, and wherein

alkyl- alkenyl- and cycloalkyl residues in the groups G¹, G², and G³ are substituted by one or two of the same or different groups which are selected from the group consisting of hydroxy, carboxy, C₂-C₇-alkoxycarbonyl, benzyloxycarbonyl, amino, mono-C₁-C₆-alkylamino and di-(C₁-C₆-alkyl-amino).

65 43. The pharmaceutical composition of claim 32 aromatic ring systems in the substituents R^1 , R^2 , R^4 , R^5 , R^6 , R^{13} , R^{14} , R^{15} , R^{16} , Ar^1 and Ar^2 and/or in the ring system $-NR^{13}R^{15}$ may be substituted independently from each other by one to three of the same or different groups selected from the group consisting of halogen, cyano, C_1 - C_6 -alkyl, trifluoromethyl, C_3 - C_8 -cycloalkyl, phenyl, benzyl, hydroxy, C_1 - C_6 -hydroxyalkyl, C_1 - C_6 -alkoxy, C_1 - C_6 -alkoxy entirely or partially substituted by fluorine, benzyloxy, phenoxy, mercapto, C_1 - C_6 -alkylthio, carboxy, C_2 - C_7 -carboxyalkyl, C_2 - C_7 -carboxyalkenyl, C_2 - C_7 -alkoxycarbonyl, benzyloxycarbonyl, nitro, amino, mono- C_1 - C_6 -alkylamino, di- $(C_1$ - C_6 -alkyl)-amino and, methylene dioxide for two adjacent residues on the aromatic ring, and wherein

alkyl- alkenyl- and cycloalkyl residues in the groups G^1 , G^2 , and G^3 are substituted by one or two of the same or different groups which are selected from the group consisting of hydroxy, carboxy, C_2 - C_7 -alkoxycarbonyl, benzyloxycarbonyl, amino, mono- C_1 - C_6 -alkylamino and di- $(C_1$ - C_6 -alkyl-amino).

44. The method of claim 33 wherein aromatic ring systems in the substituents R^1 , R^2 , R^4 , R^5 , R^6 , R^{13} , R^{14} , R^{15} , R^{16} , Ar^1 and Ar^2 and/or in the ring system $-NR^{13}R^{15}$ may be substituted independently from each other by one to three of the same or different groups selected from the group consisting of halogen, cyano, C_1 - C_6 -alkyl, trifluoromethyl, C_3 - C_8 -cycloalkyl, phenyl, benzyl, hydroxy, C_1 - C_6 -hydroxyalkyl, C_1 - C_6 -alkoxy, C_1 - C_6 -alkoxy entirely or partially substituted by fluorine, benzyloxy, phenoxy, mercapto, C_1 - C_6 -alkylthio, carboxy, C_2 - C_7 -carboxyalkyl, C_2 - C_7 -carboxyalkenyl, C_2 - C_7 -alkoxycarbonyl, benzyloxycarbonyl, nitro, amino, mono- C_1 - C_6 -alkylamino, di- $(C_1$ - C_6 -alkyl)-amino and, methylene dioxide for two adjacent residues on the aromatic ring, and wherein

alkyl- alkenyl- and cycloalkyl residues in the groups G^1 ,

B⁵ G², and G³ are substituted by one or two of the same or different groups which are selected from the group consisting of hydroxy, carboxy, C₂-C₇-alkoxycarbonyl, benzyloxycarbonyl, amino, mono-C₁-C₆-alkylamino and di-(C₁-C₆-alkyl-amino).

45. The method of claim 34 wherein aromatic ring systems in the substituents R¹, R², R⁴, R⁵, R⁶, R¹³, R¹⁴, R¹⁵, R¹⁶, Ar¹ and Ar² and/or in the ring system -NR¹³R¹⁵ may be substituted independently from each other by one to three of the same or different groups selected from the group consisting of halogen, cyano, C₁-C₆-alkyl, trifluoromethyl, C₃-C₈-cycloalkyl, phenyl, benzyl, hydroxy, C₁-C₆-hydroxyalkyl, C₁-C₆-alkoxy, C₁-C₆-alkoxy entirely or partially substituted by fluorine, benzyloxy, phenoxy, mercapto, C₁-C₆-alkylthio, carboxy, C₂-C₇-carboxyalkyl, C₂-C₇-carboxyalkenyl, C₂-C₇-alkoxycarbonyl, benzyloxycarbonyl, nitro, amino, mono-C₁-C₆-alkylamino, di-(C₁-C₆-alkyl)-amino and, methylene dioxide for two adjacent residues on the aromatic ring, and wherein

alkyl- alkenyl- and cycloalkyl residues in the groups G¹, G², and G³ are substituted by one or two of the same or different groups which are selected from the group consisting of hydroxy, carboxy, C₂-C₇-alkoxycarbonyl, benzyloxycarbonyl, amino, mono-C₁-C₆-alkylamino and di-(C₁-C₆-alkyl-amino).

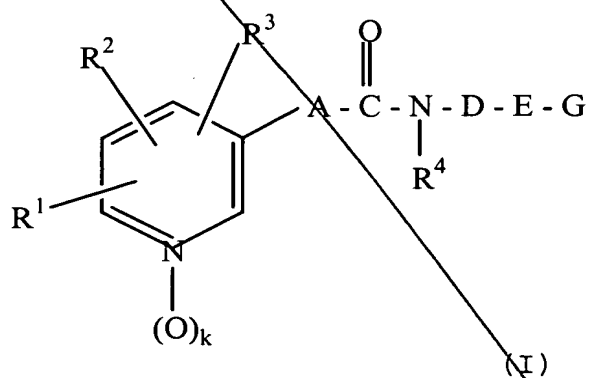
46. The pharmaceutical composition of claim 24 wherein a dosage unit for a single administration contains about 0.001 to about 2.0 mg active ingredient.

47. The pharmaceutical composition of claim 24 wherein a dosage unit for a single administration contains about 0.01 to about 2.0 mg active ingredient.

48. The pharmaceutical composition of claim 24 wherein a

dosage unit for a single administration contains about 0.1, 1, 2, 5, 10, 20, 25, 30, 50, 100, 200, 300, 500, 600, 800, 1000, 2000, 3000, 4000 to about 5000 mg active ingredient.

49. A method of inhibiting colon, lung, liver and leukemia tumor cell growth in a human or animal body comprising administering to the human or animal body in need thereof an amount of a pharmaceutical composition effective for inhibiting colon, lung, liver and leukemia tumor cell growth, wherein the pharmaceutical composition includes a compound of general formula (I)



wherein:

R¹ is selected from the group consisting of hydrogen, halogen, cyano, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₂-C₆-alkinyl, trifluoromethyl, C₃-C₈-cycloalkyl, C₁-C₆-hydroxyalkyl, hydroxy, C₁-C₆-alkoxy, C₃-C₆-alkenyloxy, C₃-C₆-alkinyloxy, benzyloxy, C₁-C₇-alkanoyloxy, C₂-C₇-alkoxycarbonyloxy, C₁-C₆-alkylthio, C₃-C₆-alkenylthio, C₃-C₆-alkinylthio, C₃-C₈-cycloalkyloxy, C₃-C₈-cycloalkylthio, C₂-C₇-alkoxycarbonyl, aminocarbonyl, C₂-C₇-alkylaminocarbonyl, C₃-C₁₃-dialkylaminocarbonyl, carboxy, phenyl, phenoxy, phenylthio, pyridyloxy, pyridylthio, and NR⁵R⁶, wherein

R⁵ and R⁶ are selected independently of each other from

the group consisting of hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkinyl, benzyl and phenyl;

ES
R² is selected from the group consisting of hydrogen, halogen, cyano, C₁-C₆-alkyl, trifluoromethyl, hydroxy, C₁-C₆-alkoxy, benzyloxy and C₁-C₇-alkanoyloxy;

Sub E7
R³ is selected from the group consisting of hydrogen, halogen, C₁-C₆-alkyl, trifluoromethyl and C₁-C₆-hydroxyalkyl;

R⁴ is selected from the group consisting of hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkinyl, C₃-C₆-cycloalkyl, hydroxy, C₁-C₆-alkoxy and benzyloxy;

k is 0 or 1,

A is selected from the group consisting of C₁-C₆-alkylene,

a substituted C₁-C₆-alkylene which is substituted one to three-fold by C₁-C₃-alkyl, hydroxy, C₁-C₃-alkoxy, fluorine, or phenyl,

C₂-C₆-alkylene, in which a methylene unit is isosterically replaced by O, S, NR⁹, CO, SO or SO₂, wherein, with the exception of CO, the isosteric substitution is not adjacent to the amide group and R⁹ is selected from the group consisting of hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkinyl, C₁-C₆-acyl and C₁-C₆-alkanesulfonyl,

1,2-cyclopropylene,

C₂-C₆-alkenylene,

BS
a substituted C₂-C₆-alkenylene which is substituted once to three-fold by C₁-C₃-alkyl, hydroxy, C₁-C₃-alkoxy, fluorine, cyano or phenyl,

C₄-C₆-alkadienylene,

SUh
a substituted C₄-C₆-alkadienylene which is substituted once or twice by C₁-C₃-alkyl, fluorine, cyano or phenyl;

E7
1,3,5-hexatrienylene,

a 1,3,5-hexatrienylene which is substituted by C₁-C₃-alkyl, fluorine, cyano or phenyl, and

ethynylene,

D is selected from the group consisting of C₂-C₁₀-alkylene,

a substituted C₂-C₁₀-alkylene which is substituted once or twice by C₁-C₆-alkyl, hydroxy, or C₁-C₆-alkoxy;

C₄-C₁₀-alkenylene,

a substituted C₄-C₁₀-alkenylene which is substituted once or twice by C₁-C₆-alkyl, hydroxy, or C₁-C₆-alkoxy;

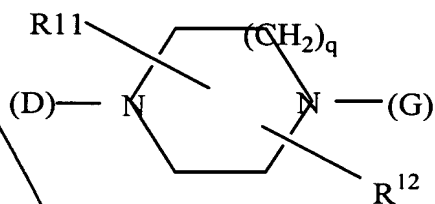
C₄-C₁₀-alkynylene,

a substituted C₄-C₁₀-alkynylene which is substituted once or twice by C₁-C₆-alkyl, hydroxy, or C₁-C₆-alkoxy; and

C₂-C₁₀-alkylene, C₄-C₁₀-alkenylene or C₄-C₁₀-alkynylene, in

which one to three methylene units are isosterically replaced by O, S, NR¹⁰, CO, SO, or SO₂, wherein R¹⁰ has the same meaning as R⁹, but is selected independently thereof;

E is



wherein

q is 1, 2, or 3;

R¹¹ is selected from the group consisting of hydrogen C₁-C₆-alkyl, hydroxy, hydroxymethyl, carboxy, or C₂-C₇-alkoxycarbonyl,

R¹² is selected from the group consisting of hydrogen, C₁-C₆-alkyl and an oxo group adjacent to a nitrogen atom,

G is selected from the group consisting of G1, G2, G3, G4, and G5, wherein

G¹ is $-(CH_2)_r-(CR^{14}R^{15})_s-R^{13}$

r is 0 to 3,

s is 0 or 1,

R¹³ is selected from the group consisting of hydrogen, C₁-

C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkinyl, C₃-C₈-cycloalkyl,

BS saturated or unsaturated four to eight-membered heterocycles,

Sub saturated or unsaturated four to eight-membered heterocycles which contain one or two hetero-atoms selected from the group consisting of N, S and O,

E7 benzyl, phenyl,

monocyclic aromatic five or six-membered heterocycles,

monocyclic aromatic five or six-membered heterocycles which contain one to three hetero-atoms selected from the group consisting of N, S and O where the heter-atoms and are either bound directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein the linkage occurs either over an aromatic or a hydrogenated ring and either directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein one to three ring atoms are selected from the group consisting of N, S and O and the linkage can occur either over an aromatic ring or a hydrated ring and either directly or over a methylene group,

R¹⁴ has the same meaning as R¹³, but is selected independently thereof;

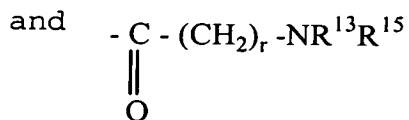
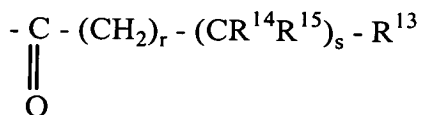
Sub E?
 ~~R^{15} is selected from the group consisting of hydrogen, hydroxy, methyl, benzyl, and phenyl,~~

~~monocyclic aromatic five or six-member heterocycles, which contain one to three hetero-atoms selected from the group consisting of N, S and O and wherein the hetero-atoms are either bound directly or over a methylene group,~~

~~anellated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein the linkage occurs either over an aromatic or a hydrogenated ring and either directly or over a methylene group,~~

~~anellated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein one to three ring atoms are selected from the group consisting of N, S and O and the linkage occurs either over an aromatic ring or a hydrated ring and either directly or over a methylene group,~~

G^2 is selected from the group consisting of



wherein r , s and the substituents R^{13} to R^{15} can have the above meaning, or the group $-NR^{13}R^{15}$,

wherein $\text{-NR}^{13}\text{R}^{15}$ is a nitrogen-containing heterocycle bound over the nitrogen atom selected from the group consisting of

saturated or unsaturated monocyclic, four to eight-membered nitrogen-containing heterocycles,

saturated or unsaturated monocyclic, four to eight-membered nitrogen-containing heterocycles which, aside from the essential nitrogen atom, contain one or two further hetero-atoms selected from the group consisting of N, S and O,

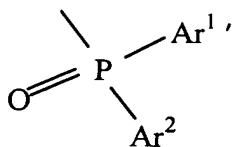
saturated or unsaturated bi- or tricyclic anellated or bridged nitrogen-containing heterocycles with 8 to 16 ring atoms,

saturated or unsaturated bi- or tricyclic anellated or bridged nitrogen-containing heterocycles with 8 to 16 ring atoms which aside from the essential nitrogen atom, contain one or two further hetero-atoms that are selected from N, S and O;

G^3 is $\text{-SO}_2\text{-(CH}_2\text{)}_r\text{-R}^{13}$ (G^3)

wherein r and R^{13} have the above meanings,

G^4 is



wherein

Ar^1 and Ar^2 are selected independently from each other